Connecting via Winsock to STN

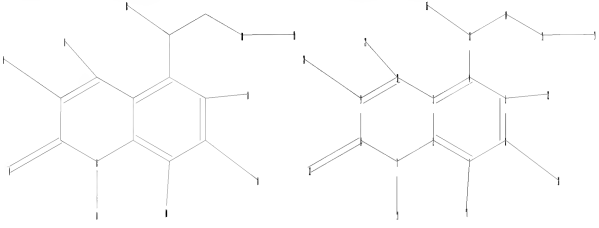
Welcome to STN International! Enter x:x

* * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:12:50 ON 20 JUL 2009

=> file reg

=>
Uploading C:\Program Files\Stnexp\Queries\10552023.str



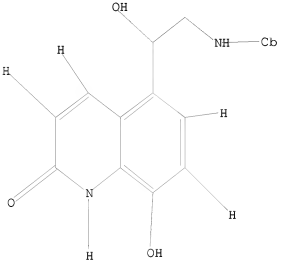
chain nodes :
11 12 13 14 15 16 17 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-17 4-13 5-22 6-18 7-11 8-12 9-20 10-21 13-14 13-16 14-15 15-19
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
1-17 2-7 3-10 7-8 8-9 8-12 9-10 13-16 14-15
exact bonds :
4-13 5-22 6-18 7-11 9-20 10-21 13-14 15-19
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:Atom 20:CLASS 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d l12
L12 NOT FOUND
The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>).

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
SAMPLE SEARCH INITIATED 15:13:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 593 TO ITERATE

100.0% PROCESSED 593 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

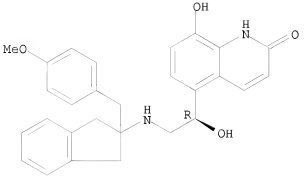
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 10399 TO 13321
PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> d scan

L2 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2(1H)-Quinolinone, 5-[(1R)-2-[[2,3-dihydro-2-[(4-methoxyphenyl)methyl]-1H-inden-2-yl]amino]-1-hydroxyethyl]-8-hydroxy-
MF C28 H28 N2 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

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=> s l1 full
FULL SEARCH INITIATED 15:13:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    11613 TO ITERATE

100.0% PROCESSED    11613 ITERATIONS                                 187 ANSWERS
SEARCH TIME: 00.00.02

L3          187 SEA SSS FUL L1

=> file ca

=> s l3
L4          85 L3

=> s l4 and py>2003
       5673999 PY>2003
L5          78 L4 AND PY>2003

=> file reg

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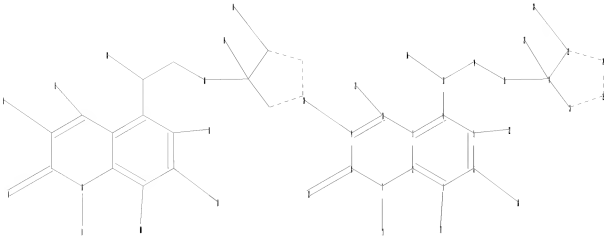

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chain nodes :
11  12  13  14  15  16  17  18  20  21  22  27  28
ring nodes :
1  2  3  4  5  6  7  8  9  10  19  23  24  25  26
chain bonds :
1-17  4-13  5-22  6-18  7-11  8-12  9-20  10-21  13-14  13-16  14-15  15-19  19-27
23-28
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-10  4-5  5-6  7-8  8-9  9-10  19-23  19-26  23-24  24-25
 25-26
exact/norm bonds :
1-17  2-7  3-10  7-8  8-9  8-12  9-10  13-16  14-15  15-19  19-23  19-26  23-24
24-25  25-26
exact bonds :
4-13  5-22  6-18  7-11  9-20  10-21  13-14  19-27  23-28
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  18:CLASS
19:Atom  20:CLASS  21:CLASS  22:CLASS  23:Atom  24:Atom  25:Atom  26:Atom  27:CLASS
 28:CLASS



L6      STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6              STR
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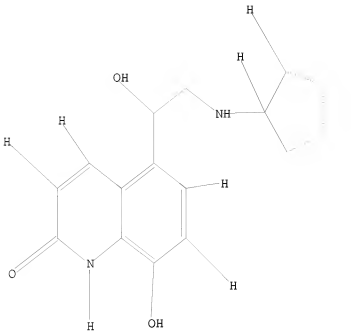

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 15:15:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1111 TO ITERATE

100.0% PROCESSED     1111 ITERATIONS                                130 ANSWERS
SEARCH TIME: 00.00.01

L7          130 SEA SSS FUL L6

=> file ca

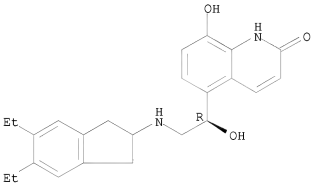
=> s l7
L8           76 L7

=> d ibib abs fhitstr 1-76

L8   ANSWER 1 OF 76  CA  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        151:69382  CA
TITLE:                   Benefit-risk assessment of long-acting
                         β-adrenergic and ultra long-acting
                         β-adrenergic agonists
AUTHOR(S):               Cazzola, Mario; Loetvall, Jan Olof; Matera, Maria
                         Gabriella
CORPORATE SOURCE:        Respiratory Medicine, Department of Internal Medicine,
                         Unit of Respiratory Diseases, University of Rome Tor
                         Vergata, Rome, Italy
SOURCE:                  Asthma: Current Treatments (2007), 17-29.  Editor(s):
```

Polosa, Riccardo; Holgate, Stephen T. Clinical
Publishing: Oxford, UK.
CODEN: 69LHXY; ISBN: 978-1-84692-015-8
DOCUMENT TYPE: Conference; General Review
LANGUAGE: English
AB A review on enantiomers of long-acting β-agonists, ultra long-acting β-agonists under development, and other long-acting β-agonists.
IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhaled corticosteroid in combination with long-acting β-adrenergic agonist or ultra-long-acting β-adrenergic agonist could be useful in patient with asthma and chronic obstructive pulmonary disease)
RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 151:63853 CA
TITLE: Process for producing drug particles smaller than ten microns in size
INVENTOR(S): Muhrer, Gerhard; Kieckbusch, Thomas; Singh, Dilraj; Thakur, Ranjit; Schaffluetzel, Kurt; Rasenack, Norbert
PATENT ASSIGNEE(S): Novartis A.-G., Switz.
SOURCE: PCT Int. Appl., 21pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009074666	A1	20090618	WO 2008-EP67364	20081211
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,				

FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2007-123165 A 20071213

AB A process of preparing a particulate and substantially crystalline drug substance.

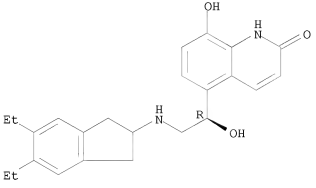
The process involves suspending a substantially crystalline drug substance in an anti-solvent to give a suspension, homogenizing the suspension at elevated pressure to give drug particles that have a mean particle size of less than about 10 μm, and drying the drug particles to remove any residual anti-solvent.

IT 312753-06-3D, Indacaterol, salts
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(process for producing drug particles smaller than ten microns in size)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:555861 CA
TITLE: Use of CRTH2 antagonist compounds
INVENTOR(S): Hunter, Michael George; Pettipher, Eric Roy; Perkins, Colin Michael; Payton, Mark Anthony; Xue, Luzheng
PATENT ASSIGNEE(S): Oxagen Limited, UK
SOURCE: PCT Int. Appl., 51pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009063215	A2	20090522	WO 2008-GB3843	20081113

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2007-22216 A 20071113
OTHER SOURCE(S): MARPAT 150:555861

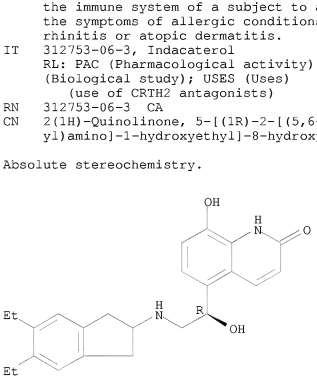
AB The invention relates to CRTH2 antagonist compds. useful for desensitizing the immune system of a subject to allergens, thus preventing or reducing the symptoms of allergic conditions such as allergic asthma, allergic rhinitis or atopic dermatitis.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of CRTH2 antagonists)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 4 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:555858 CA
TITLE: Use of CRTH2 antagonist compounds
INVENTOR(S): Hunter, Michael George; Pettipher, Eric Roy; Perkins, Colin Michael; Payton, Mark Anthony; Xue, Luzheng
PATENT ASSIGNEE(S): Oxagen Limited, UK
SOURCE: PCT Int. Appl., 51pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009063202	A2	20090522	WO 2008-GB3824	20081113

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2007-22203 A 20071113
OTHER SOURCE(S): MARPAT 150:555858

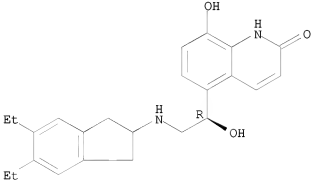
AB The invention relates to CRTH2 antagonist compds. which are useful in the treatment of allergic conditions, wherein the treatment is by pulsed therapy which comprises a first period during which the compound is administered to the patient and a second period of at least seven days during which the compound is administered to the patient in a reduced amount

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of CRTH2 antagonists)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 5 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:539583 CA
TITLE: Preparation of 5-[(3,3,3-trifluoro-2-hydroxy-1-arylpropyl)amino]-1H-

quinolin-2-ones as antiinflammatories.

INVENTOR(S): Berger, Markus; Rehwinkel, Hartmut; Zollner, Thomas; May, Ekkehard; Hassfeld, Jorma; Schaecke, Heike

PATENT ASSIGNEE(S): Bayer Schering Pharma Aktiengesellschaft, Germany; Astrazeneca AB

SOURCE: PCT Int. Appl., 70pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009065503	A1	20090528	WO 2008-EP9440	20081108
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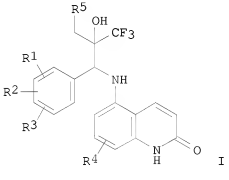
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 2062880	A1	20090527	EP 2007-76019	20071122
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			

PRIORITY APPLN. INFO.: EP 2007-76019 A 20071122
OTHER SOURCE(S): CASREACT 150:539583

GI



AB Title compds. [I; R1, R2 = H, OH, halo, cyano, NO2, perfluoroalkyl, (substituted) alkyl, alkoxy, alkylthio; R1R2 = O(CH2)pO, OCH:CH, , NHN:CH, etc.; p = 1, 2; R3 = H, OH, halo, cyano, perfluoroalkyl, (substituted) alkyl, alkoxy, alkylthio; R4 = H, halo, OH, perfluoroalkyl, alkyl, alkoxy,

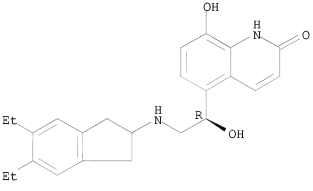
alkylthio, cyano, NO2, amino, etc.; R5 = (halo)alkyl, alkenyl, alkynyl, cycloalkylalkyl, cycloalkylalkenyl, heterocyclylalkyl, heterocyclylalkenyl, alkylthio, alkylsulfonyl, cyano, halo, amino, etc.], were prepared Thus, 5-[[1-(2-chloro-3-fluoro-4-methoxyphenyl)-3,3,3-trifluoro-2-hydroxy-2-(methoxymethyl)propyl]amino]-7-fluoro-1H-quinolin-2-one (preparation given) bound to the glucocorticoid receptor with IC50 = 3.1 nM.

IT 312753-06-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of trifluorohydroxyarylpropylaminoquinolinones as antiinflammatories)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 150:539582 CA

TITLE: Preparation of 5-[(3,3,3-trifluoro-2-hydroxy-1-arylpropyl)amino]-1H-quinolin-2-ones as antiinflammatories.

INVENTOR(S): Berger, Markus; Rehwinkel, Hartmut; Schaecke, Heike; May, Ekkehard; Zollner, Thomas; Hassfeld, Jorma

PATENT ASSIGNEE(S): Bayer Schering Pharma Aktiengesellschaft, Germany; Astrazeneca AB

SOURCE: Eur. Pat. Appl., 34pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

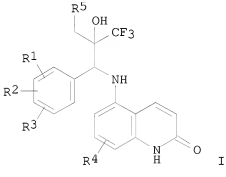
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 2062880	A1	20090527	EP 2007-76019	20071122
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

WO 2009065503 A1 20090528 WO 2008-EP9440 20081108
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 20090137564 A1 20090528 US 2008-275392 20081121

PRIORITY APPLN. INFO.: EP 2007-76019 A 20071122
US 2007-990116P P 20071126

GI



I

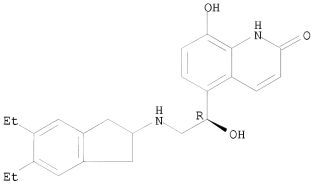
AB Title compds. [I; R1, R2 = H, OH, halo, cyano, NO2, perfluoroalkyl, (substituted) alkyl, alkoxy, alkylthio; R1R2 = O(CH2)pO, OCH:CH, , NHN:CH, etc.; p = 1, 2; R3 = H, OH, halo, cyano, perfluoroalkyl, (substituted) alkyl, alkoxy, alkylthio; R4 = H, halo, OH, perfluoroalkyl, alkyl, alkoxy, alkylthio, cyano, NO2, amino, etc.; R5 = (halo)alkyl, alkenyl, alkynyl, cycloalkylalkyl, cycloalkylalkenyl, heterocyclylalkyl, heterocyclylalkenyl, alkylthio, alkylsulfonyl, cyano, halo, amino, etc.], were prepared Thus, 5-[[1-(2-chloro-3-fluoro-4-methoxyphenyl)-3,3,3-trifluoro-2-hydroxy-2-(methoxymethyl)propyl]amino]-7-fluoro-1H-quinolin-2-one (preparation given) bound to the glucocorticoid receptor with IC50 = 3.1 nM.

IT 312753-06-3, Indacaterol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of trifluorohydroxyarylpropylaminoquinolinones as antiinflammatories)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:480748 CA
TITLE: Organic compounds for treatment of an inflammatory or obstructive airways disease
INVENTOR(S): Fairhurst, Robin Alec
PATENT ASSIGNEE(S): Novartis AG, Switz.
SOURCE: PCT Int. Appl., 50pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

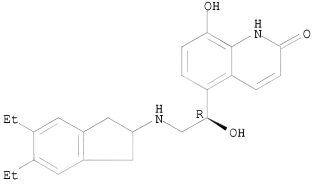
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009050198	A2	20090423	WO 2008-EP63869	20081015
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090181934	A1	20090716	US 2008-247764	20081008

PRIORITY APPLN. INFO.: EP 2007-118721 A 20071017

AB A medicament comprising, sep. or together: a component (A) which is an adenosine A2a receptor agonist as defined in the specification; and a component (B) which is one or more compds. selected from: (i) a corticosteroid, (ii) a beta-2 adrenoceptor agonist, (iii) an antimuscarinic agent, (iv) an A 2B antagonist, (v) an antihistamine, (vi) a caspase inhibitor, (vii) an ENaC inhibitor, (viii) an LTB4 antagonist, (ix) an LTD4 antagonist, (x) a serine protease inhibitor, (xi) a PDE4 inhibitor and (xii) a dual-acting beta-2 adrenoceptor agonist / muscarinic antagonist, for simultaneous, sequential or sep. administration in the

treatment of an inflammatory or obstructive airways disease.
IT 312753-06-3, Indacaterol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(organic compds. for treatment of inflammatory or obstructive airways disease)
RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 8 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:413828 CA
TITLE: Efficacy and Safety of Indacaterol, a New 24-hour β 2-Agonist, in Patients with Asthma: A Dose-Ranging Study
AUTHOR(S): Kanniess, Frank; Boulet, Louis-Philippe; Pierzchala, Wladyslaw; Cameron, Ray; Owen, Roger; Higgins, Mark
CORPORATE SOURCE: Pulmonary Research Institute, Hospital Grosshansdorf, Grosshansdorf, Germany
SOURCE: Journal of Asthma (2008), 45(10), 887-892
CODEN: JOUADU; ISSN: 0277-0903
PUBLISHER: Informa Healthcare
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Background: Indacaterol is a new once-daily inhaled β2-agonist in clin. development for asthma as a component of a fixed-dose combination with an inhaled corticosteroid. Objectives: To investigate the efficacy and safety of indacaterol in patients with chronic persistent asthma. Methods: A total of 115 patients were randomized in a double-blind, incomplete-block cross-over design to sequences of four 7-day treatment periods (separated by 7-day washouts) with indacaterol 100, 200, 300, 400, or 600 μ g or placebo, once daily, via single-dose dry-powder inhaler. After the fourth washout, patients received 1 day of open-label formoterol 12 μ g twice daily. Forced expiratory volume in 1 s (FEV1) was measured for 24 h post-dose on days 1 and 7. Results: For standardized (with respect to time) FEV1 area under the curve at 22 to 24 h (AUC22-24h) on day 1, indacaterol doses ≥200 μ g were superior to placebo ($p < 0.05$) and similar or greater than formoterol 12 μg twice daily. By day 7, mean differences from placebo in FEV1 standardized AUC22-24h were 0.08, 0.16, 0.15, 0.11, and 0.16 L for indacaterol 100, 200, 300, 400, and 600

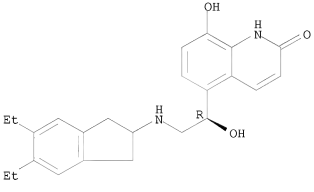
μg, resp. (all p < 0.05 vs. placebo). Mean FEV1 for indacaterol doses ≥ 200 μg on day 7 was higher than placebo (p < 0.05) pre-dose and at all post-dose time points. AEs were generally mild in severity; no serious AEs occurred. No clin. meaningful differences were observed between treatments in any safety assessments. Conclusions: Once-daily indacaterol demonstrated sustained 24-h bronchodilator efficacy, with similar efficacy on days 1 and 7, and was generally well tolerated.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(once daily 24-h β2-agonist indacaterol was well tolerated and showed sustained bronchodilator efficacy in treatment of patient with mild, moderate or severe persistent asthma)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:406769 CA
TITLE: Metered dose dispenser for inhalant formulations
INVENTOR(S): Child, Andrew D.; Helm, Stephen D.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 29pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009046074	A1	20090409	WO 2008-US78406	20081001

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,

PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2007-19257 A 20071004

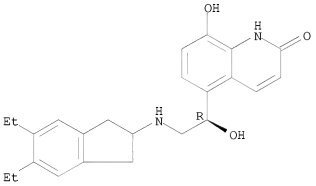
AB The invention relates to a metered dose inhaler containing a formulation of medicament, for example, a drug for treatment of respiratory disorder, HFA 134a and/or HFA227, and being substantially free of ethanol and surfactant, with a metering valve comprising a helical spring, a seal, a seal support and a sliding valve stem, wherein the valve is configured and arranged such that a region of compressive contact is defined where a surface applying force to the seal is substantially flat and extends in an arc through an angle in the range from about 180 to 360°. Thus, an aerosol canister was cold-filled with a suspension containing 1.97 mg/mL micronized albuterol sulfate in HFA 134a, and the a metering valve was crimped in place. The inhaler showed a significant and effective reduction in the decrease of return force over the lifetime of the inhaler.

IT 312753-06-3, Indacaterol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(metered dose inhaler with metering valve and inhalant composition free of ethanol and surfactant)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 150:297293 CA

TITLE: New approaches to managing asthma: a US perspective

AUTHOR(S): Berger, William E.

CORPORATE SOURCE: Allergy and Asthma Associates of Southern California, Mission Viejo, CA, USA

SOURCE: Therapeutics and Clinical Risk Management (2008), 4(2), 363-379
CODEN: TCRMA6; ISSN: 1176-6336

PUBLISHER: Dove Medical Press (NZ) Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

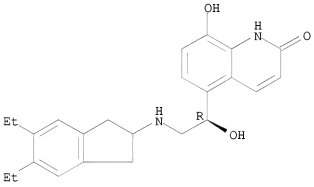
AB A review. Despite remarkable advances in diagnosis and long-term management, asthma remains a serious public health concern. Newly updated expert guidelines emphasize the intra- and inter-individual variability of asthma and highlight the importance of periodic assessment of asthma control. These guidelines update recommendations for step-wise asthma treatment, address the burgeoning field of asthma diagnostics, and stress the importance of a patient and health care professional partnership, including written action plans and self monitoring. The field of asthma therapeutics is expanding rapidly, with promising new treatment options available or in development that may address some of the existing barriers to successful asthma management. These approaches simplify treatment, use combinations of agents in one delivery device that have complementary actions, or target specific pathways involved in asthma pathophysiol. Considerable activity is taking place in asthma pharmacogenetics. This review provides an overview of these new approaches to managing asthma, including their present status and future potential.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Asmanex in combination with indacaterol may be effective in treatment of patient with asthma)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 121 THERE ARE 121 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:15638 CA
TITLE: A cell-based assay to assess the persistence of action of agonists acting at recombinant human β2 adrenoceptors
AUTHOR(S): Summerhill, Susan; Stroud, Timothy; Nagendra, Roshini; Perros-Huguet, Christelle; Trevethick, Michael
CORPORATE SOURCE: Pfizer Global Research and Development, Allergy and

Respiratory Biology, Sandwich, Kent, CT13 9NJ, UK
SOURCE: Journal of Pharmacological and Toxicological Methods (2008), 58(3), 189-197
CODEN: JPTMEZ; ISSN: 1056-8719
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

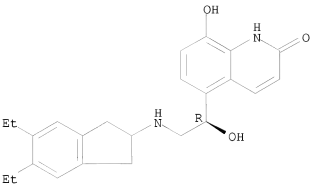
AB Introduction: The aim was to establish a robust, 96-well, cell-based assay to assess the potency and persistence of action of agonists acting at human recombinant β2 adrenoceptors expressed in CHO (Chinese Hamster Ovary) cells and to compare this with published duration of action data in guinea pig isolated trachea and human bronchus. Methods: Cells were treated with either: (i) β-adrenoceptor agonist for 30 min, washed and cyclicAMP (cAMP) measured 30 min later-termed washed' cells or, (ii) treated with solvent for 30 min, washed, and then treated with β-adrenoceptor agonist for 30 min and cAMP measured-termed unwashed' cells. The washed' EC50 was divided by the unwashed' EC50 to determine a rightward shift concentration ratio, which was indicative of the persistence of action at the receptor. Results: At the β2 adrenoceptor salmeterol, carmoterol and indacaterol were resistant to washing with a concentration ratio of < 5, indicating a long persistence of action, whereas formoterol, isoprenaline and salbutamol were washed out with a ratio of 32, > 294 and > 800 resp., suggesting a shorter persistence of action. At β1 and β3 adrenoceptors all compds. washed out. The persistent effects of salmeterol at β2 following washing could be reversed by the selective β2 antagonist ICI 118551, suggesting continued receptor activation. Discussion: The data presented agree well with published data assessing duration of action of β2 agonists in human isolated bronchus and guinea pig isolated trachea. Key features are: (a) it is a 96-well format which can be used to assess many compds. in a single experiment, (b) both potency and persistence of agonist action are assessed in the same assay, (c) any effects of concentration on the persistence of action can be highlighted, and (d) it allows triage of compds. prior to tissue bath studies thus reducing the use of animal tissue.

IT 312753-06-3, Indacaterol
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cell-based assay to assess action persistence β2 adrenoceptor agonists)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

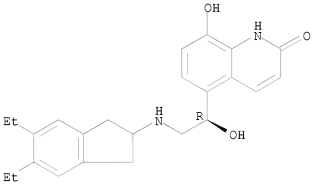
L8 ANSWER 12 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:106 CA
TITLE: Novel long-acting bronchodilators for COPD and asthma
AUTHOR(S): Cazzola, M.; Matera, M. G.
CORPORATE SOURCE: Unit of Respiratory Diseases, Department of Internal Medicine, University of Rome 'Tor Vergata', Rome, Italy
SOURCE: British Journal of Pharmacology (2008), 155(3), 291-299
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. An important step in simplifying asthma and chronic obstructive pulmonary disease (COPD) management and improving adherence with prescribed therapy is to reduce the dose frequency to the min. necessary to maintain disease control. Therefore, the incorporation of once-daily dose administration is an important strategy to improve adherence and is a regimen preferred by most patients, which may also lead to enhancement of compliance, and may have advantages leading to improved overall clin. outcomes. Once-daily β2-agonists or ultra long-acting β2-agonists (LABAs) such as carmoterol, indacaterol, GSK-159797, GSK-597901, GSK-159802, GSK-642444 and GSK-678007 are under development for the treatment of asthma and COPD. Also some new long-acting antimuscarinic agents (LAMAs) such as aclidinium, LAS-35201, GSK656398, GSK233705, NVA-237 (glycopyrrolate) and OrM3 are under development. In any case, the current opinion is that it will be advantageous to develop inhalers containing combinations of several classes of long-acting bronchodilator drugs in an attempt to simplify treatment regimens as much as possible. Consequently, several options for once-daily dual-action ultra LABA+LAMA combination products are currently being evaluated. A different approach is to have a dimer mol. in which both pharmacologies are present (these mols. are known as M3 antagonist-β2 agonist (MABA) bronchodilators). The advent of a successful MABA product will revolutionize the field and open the door for a new range of combination products.

IT 312753-06-3, Indacaterol
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)
(novel long-acting bronchodilators for COPD and asthma)
RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 149:569955 CA
TITLE: A method for rapidly predicting drug tissue distribution using surfactant vesicle electrokinetic chromatography
AUTHOR(S): Jiang, Zhengjin; Reilly, John; Everatt, Brian
CORPORATE SOURCE: Global Discovery Chemistry, Novartis Institutes for Biomedical Research, Horsham, UK
SOURCE: Electrophoresis (2008), 29(17), 3674-3684
CODEN: ELCTDN; ISSN: 0173-0835
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Lung tissue distribution of an inhaled drug is important for its potency in the airways and with min. systemic effects within its dose range. As the lung has the smallest diffusion distance of all the organs in the body and negligible diffusion delays, the characteristics of drug distribution in the lung will mainly depend on drug binding to both tissue and plasma protein. This research aims to develop and evaluate surfactant vesicle electrokinetic chromatog. (SEKC) methods for high throughput profile prediction of tissue distribution for inhaled drugs. Several electrokinetic chromatog. methods reported in the literature, as well as immobilized artificial membrane chromatog., were compared and evaluated in respect to chromatog. characteristics and statistical correlations. Among these methods, the docusate sodium salt (AOT) SEKC system showed good reproducibility, short run time, and the highest selectivity for alkylphenone test compds. It also showed a significant statistical correlation between the retention of inhaled drugs and their in vivo volume of distribution at steady-state (Vss) in whole human body neglecting the plasma protein-binding differences. Stronger correlations were observed between the AOT SEKC retention of a series of basic drugs and their rat

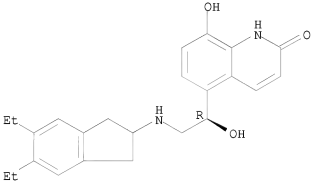
lung tissue-to-plasma water partitioning coefficient (Kpu), which is affected only by drug binding to the tissue constituent. Further, on comparing correlations between AOT SEKC retention and Kpu at various rat tissues, it was observed that the strongest correlation was with lung tissue distribution, while the weakest was with brain tissue distribution.

IT 312753-06-3, Indacaterol
RL: ANT (Analyte); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(method for rapidly predicting drug tissue distribution using surfactant vesicle electrokinetic chromatog.)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 149:519049 CA

TITLE: Drug combination comprising β2 agonist and progestin for treatment of muscle loss

INVENTOR(S): Gilbert, Julian Clive; Gristwood, Robert William

PATENT ASSIGNEE(S): Acacia Pharma Limited, UK

SOURCE: PCT Int. Appl., 11pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008129308	A2	20081030	WO 2008-GB1452	20080424

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,

TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2007-7930 A 20070424
GB 2007-7931 A 20070424
GB 2007-10101 A 20070525

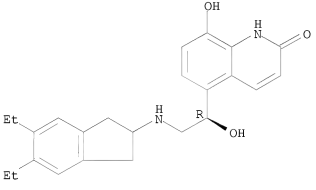
AB The present invention is a product comprising a β2 agonist and a progestin, as a combined preparation for sep., simultaneous or sequential use in the treatment or prevention of muscle loss. The present invention is also a β2 agonist selected from R,R-formoterol, indacaterol or ritodrine, for use in the treatment or prevention of muscle loss.

IT 312753-06-3, Indacaterol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug combination comprising β2 agonist and progestin for treatment of muscle loss)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 15 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 149:439380 CA

TITLE: Selective structure-based virtual screening for full and partial agonists of the β2 adrenergic receptor. [Erratum to document cited in CA149:298766]

AUTHOR(S): de Graaf, Chris; Rognan, Didier

CORPORATE SOURCE: Bioinformatics of the Drug, Institut Gilbert Laustriat CNRS UMR 7175-LC1, Universite Louis Pasteur Strasbourg, Illkirch, 67401, Fr.

SOURCE: Journal of Medicinal Chemistry (2008), 51(20), 6620
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

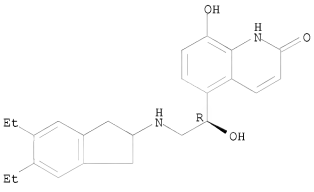
LANGUAGE: English

AB On page 4979, Figure 2 was incorrectly given; the correct figure is given.

IT 312753-06-3, Indacaterol
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);

PRP (Properties); BIOL (Biological study)
(selective structure-based virtual screening for full and partial agonists of β2 adrenergic receptor (Erratum))
RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 16 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 149:315569 CA
TITLE: Therapeutic release agents, esters of alkylcarbamic acids, as inhibitors of fatty acid amide hydrolase activity
INVENTOR(S): Dasse, Olivier; Parrott, Jeff A.; Putman, David; Adam, Julia
PATENT ASSIGNEE(S): N.V. Organon, Neth.
SOURCE: PCT Int. Appl., 250pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008100977	A2	20080821	WO 2008-US53785	20080213
WO 2008100977	A3	20081218		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2007-889909P P 20070214

US 2007-948082P P 20070705

OTHER SOURCE(S): MARPAT 149:315569

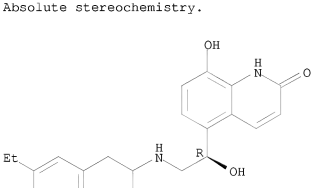
AB Pharmacol. inhibition of fatty acid amide hydrolase (FAAH) activity leads to increased levels of fatty acid amides. Esters of alkylcarbamic acids are disclosed that are inhibitors of FAAH activity. Compds. disclosed herein inhibit FAAH activity. Described herein are processes for the preparation of esters of alkylcarbamic acid compds., compns. that include them, and methods of use thereof. Thus, to prepare a parenteral pharmaceutical composition for injection, 100 mg of a water-soluble salt of a compound of the invention was dissolved in DMSO and mixed with 10 mL of 0.9% sterile saline; the mixture was incorporated into dosage form unit suitable for administration by injection.

IT 312753-06-3D, Indacaterol, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic release agents, esters of alkylcarbamic acids, as inhibitors of fatty acid amide hydrolase activity)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 17 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 149:307691 CA

TITLE: Novel combination of spiroheterocyclicpiperidines to be used in the treatment of airway diseases, especially chronic obstructive pulmonary disease (copd) and asthma

INVENTOR(S): Eriksson, Tomas; Hansson, Johan; Mensonides-Harsema, Marguerite; Mo, John

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 56pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2008103126 A1 20080828 WO 2008-SE50204 20080221
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2007-891244P P 20070223
OTHER SOURCE(S): MARPAT 149:307691
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

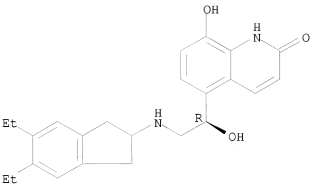
AB The present invention provides a pharmaceutical product comprising, in combination of, (a) a (therapeutically effective) dose of a first active ingredient, which is a compound of formula I [m = 0-2; n = 0-2; q = 0 or 1; p = 0-2; R1 = halo, CN, haloalkyl; R2 = (=O) or alkyl; R3 = H, OH, or NH2; R4 = H, OH, oxo, etc.; R5 = H, halo, OH, (un)substituted alkoxy; A = bond or alkyl; R8 = H or alkyl; R9 = halo, CN, alkoxy, or haloalkyl; X, Y and Z independently = bond, O, NH, CH2 or C(O), provided that only one of X, Y and Z is a bond, and provided that X and Y are not simultaneously O or C(O)] or a pharmaceutically acceptable salt thereof; and (b) a (therapeutically effective) dose of a second active ingredient, which is a glucocorticoid receptor agonist; and optionally, (c) a (therapeutically effective) dose of a third active ingredient, which is a β2-agonist. The invention further relates to pharmaceutical compns. comprising said combination and to methods of treating treatment of airway diseases, especially chronic obstructive pulmonary disease (COPD) and asthma in mammals by administrating said combination. Select I are prepared, e.g., II·TFA was prepared via Wittig reaction of 4-fluoro-2-hydroxybenzaldehyde with Me (triphenylphosphoranylidene)acetate followed by hydrogenation, reaction with (2S)-oxiran-2-ylmethyl 3-nitrobenzenesulfonate, and hydrolysis and workup with TFA. Bioassays are described (no data). The invention further relates to a kit comprising the combination and use of said kit in treatment of airway diseases such as COPD and asthma.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(claimed co-drug; novel combination of spiroheterocyclicpiperidines to be used in the treatment of airway diseases, especially chronic obstructive pulmonary disease and asthma)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

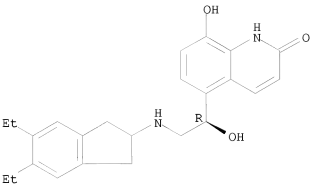
L8 ANSWER 18 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 149:298766 CA
TITLE: Selective Structure-Based Virtual Screening for Full and Partial Agonists of the β2 Adrenergic Receptor
AUTHOR(S): de Graaf, Chris; Rognan, Didier
CORPORATE SOURCE: Bioinformatics of the Drug, Institut Gilbert Laustriat CNRS UMR 7175-LC1, Universite Louis Pasteur Strasbourg, Illkirch, 67401, Fr.
SOURCE: Journal of Medicinal Chemistry (2008), 51(16), 4978-4985
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The recently solved high-resolution X-ray structure of the β2 adrenergic receptor has been challenged for its ability to discriminate inverse agonists/antagonists from partial/full agonists. Whereas the X-ray structure of the ground state receptor was unsuitable to distinguish true ligands with different functional effects, modifying this structure to reflect early conformational events in receptor activation led to a receptor model able to selectively retrieve full and partial agonists by structure-based virtual screening. The use of a topol. scoring function based on mol. interaction fingerprints was shown to be mandatory to properly rank docking poses and achieve acceptable enrichments for partial and full agonists only.

IT 312753-06-3, Indacaterol
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(selective structure-based virtual screening for full and partial agonists of β2 adrenergic receptor)

RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 95 THERE ARE 95 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 19 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 149:293749 CA
TITLE: Pharmaceutical combinations of bronchodilators and corticosteroids for treatment of airway diseases
INVENTOR(S): Lulla, Amar; Malhotra, Geena
PATENT ASSIGNEE(S): Cipla Limited, India; Curtis, Philip Anthony
SOURCE: PCT Int. Appl., 43pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008102128	A2	20080828	WO 2008-GB578	20080219
WO 2008102128	A3	20090108		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
IN 2007MU00314	A	20081024	IN 2007-MU314	20070219

PRIORITY APPLN. INFO.:
IN 2007-MU314 A 20070219
IN 2007-MU1642 A 20070827
IN 2007-MU2179 A 20071101

AB A pharmaceutical combination comprising (a) a combination of two or more bronchodilators; or (b) a combination of at least one bronchodilator in combination with at least one corticosteroid for simultaneous or sequential administration. A combination is used in the prevention or treatment of respiratory, inflammatory or obstructive airway diseases.

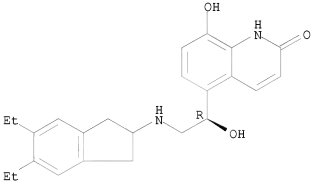
Thus, an aerosol formulation was prepared comprising ciclesonide 16 mg, formoterol 0.96 mg, ethanol 224 mg, lecithin 0.0034 mg, and propellant HFA227 11.0 g.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhalant compns. comprising combinations of bronchodilators and corticosteroids for treatment of airway diseases)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 20 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 149:259111 CA

TITLE: Bronchodilator efficacy of indacaterol, a novel once-daily β2-agonist, in patients with persistent asthma

AUTHOR(S): Pearlman, David S.; Greos, Leon; LaForce, Craig; Orevillo, Chadwick J.; Owen, Roger; Higgins, Mark

CORPORATE SOURCE: Colorado Allergy and Asthma Centers, Denver, CO, USA

SOURCE: Annals of Allergy, Asthma, & Immunology (2008), 101(1), 90-95
CODEN: ALAIF6; ISSN: 1081-1206

PUBLISHER: American College of Allergy, Asthma, & Immunology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Indacaterol is a novel once-daily inhaled β2-agonist in development for the treatment of patients with asthma or chronic obstructive pulmonary disease. To investigate the bronchodilator efficacy of indacaterol in patients with persistent asthma. Patients received a randomized sequence of single doses of indacaterol, 400 μg, via single-dose dry powder inhaler (SDDPI); indacaterol, 200 μg, via multidose dry powder inhaler (MDDPI); and placebo. At each visit, the forced expiratory volume in 1 s (FEV1) was recorded at a series of time points during a 24-h period. Of 33 patients screened, 25 were randomized to treatment. Adjusted mean FEV1 was significantly higher ($P \leq .005$) for both indacaterol doses vs placebo at most time points. The first time points at which statistically significant treatment differences were observed for indacaterol and placebo in FEV1 were 0.17 L at 5 min after dosing for 400 μg of indacaterol

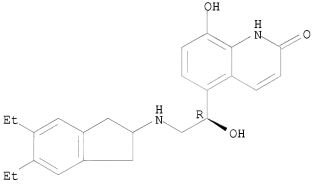
(SDDPI) and 0.21 L at 10 min for 200 μg of indacaterol (MDDPI) (both P < .001 vs placebo). Differences relative to placebo at the final time point, 24 h after dosing, were 0.29 L and 0.15 L for indacaterol, 400 μg and 200 μg, resp. (both P ≤ .003 vs placebo). Overall, FEV1 was significantly higher for the 400-μg dose compared with the 200-μg dose from 15 min to 2 h after dosing (P ≤ .013) and from 5 h onward (P ≤ .022). Indacaterol was associated with good tolerability and safety. Indacaterol demonstrates sustained bronchodilator efficacy throughout the full 24-h period, with a rapid onset of action and a good overall safety profile.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(indacaterol β2-agonist at 400μg via single-dose dry powder inhaler showed sustained bronchodilator efficacy and safety in patient with persistent asthma)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 149:119641 CA

TITLE: Combination therapy for the treatment of airways disease

PATENT ASSIGNEE(S): Novartis AG, Switz.

SOURCE: Eur. Pat. Appl., 20pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

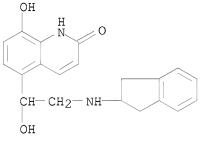
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1938822	A1	20080702	EP 2006-126840	20061221
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,				

BA, HR, MK, RS
WO 2008074856 A1 20080626 WO 2007-EP64288 20071220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: EP 2006-126840 A 20061221
AB A medicament that comprises, sep. or together (A) a quinolinone compound described herein; and (B) an antibacterial agent; for simultaneous, sequential or sep. administration in the treatment of an inflammatory, infective or obstructive airways disease.
IT 312753-16-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for treatment of airways disease)
RN 312753-16-5 CA
CN 2(1H)-Quinolinone, 5-[2-[(2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

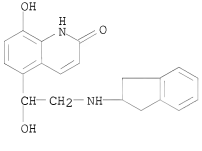


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 149:96028 CA
TITLE: Combination therapy for the treatment of airways disease
INVENTOR(S): Higgins, Mark Nicholas
PATENT ASSIGNEE(S): Novartis AG, Switz.
SOURCE: PCT Int. Appl., 28pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2008074856 A1 20080626 WO 2007-EP64288 20071220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
EP 1938822 A1 20080702 EP 2006-126840 20061221
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS
PRIORITY APPLN. INFO.: EP 2006-126840 A 20061221
OTHER SOURCE(S): MARPAT 149:96028
AB A medicament that comprises, sep. or together (A) a quinolinone compound described here; and (B) an antibacterial agent; for simultaneous, sequential or sep. administration in the treatment of an inflammatory, infective or obstructive airways disease.
IT 312753-16-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for treatment of airways disease)
RN 312753-16-5 CA
CN 2(1H)-Quinolinone, 5-[2-[(2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 149:62726 CA
TITLE: Processes for taste-masking of inhaled formulations
INVENTOR(S): Schuster, Jeffrey A.; Cipolla, David C.; Farr, Stephen
PATENT ASSIGNEE(S): Aradigm Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 9pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20080138397	A1	20080612	US 2007-876407		20071022
PRIORITY APPLN. INFO.:			US 2006-862751P	P	20061024

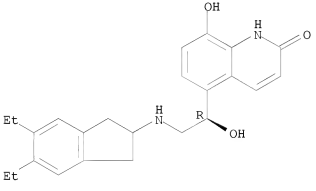
AB The present invention provides novel processes and methodologies to minimize the bitter or otherwise unpleasant taste, to minimize the tendency to stimulate the cough reflex, or to minimize oropharyngeal deposition of active compds. administered by the pulmonary/inhalation route and to deliver hydroxychloroquine (HCQ) either singularly or in combination with an antimalarial and aminoquinolone by the pulmonary/inhalation route in a sustained release or other formulation. The formulation minimizes the bitter or otherwise unpleasant taste of HCQ or any potential to stimulate the cough reflex, and to deliver a dopaminergic compound or its prodrug, including ABT-431 by the pulmonary/inhalation route in a sustained release or other formulation. The formulation also delivers an antibiotic, including duramycin by the pulmonary/inhalation route in a sustained release that minimizes the unpleasant taste of the drug or any potential to stimulate throat irritation.

IT 312753-06-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(processes for taste-masking of inhaled formulations)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 24 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	148:417677 CA
TITLE:	Indacaterol provides sustained 24 h bronchodilation on once-daily dosing in asthma: a 7-day dose-ranging study
AUTHOR(S):	LaForce, C.; Alexander, M.; Deckelmann, R.; Fabbri, L. M.; Aisanov, Z.; Cameron, R.; Owen, R.; Higgins, M.
CORPORATE SOURCE:	Department of Pediatrics, University of North Carolina Clinical Research, Raleigh, NC, USA
SOURCE:	Allergy (Oxford, United Kingdom) (2008), 63(1), 103-111 CODEN: LLRGDY; ISSN: 0105-4538

PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

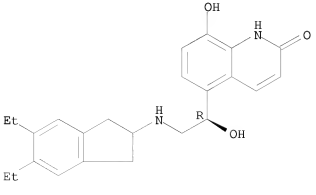
AB Background: Indacaterol is a novel, once-daily β2-agonist in development for the treatment of asthma and chronic obstructive pulmonary disease. Studies were required to determine optimal dose(s) for continuing investigation. Objective: A dose-ranging study was undertaken to evaluate efficacy and safety of indacaterol. Methods: A total of 436 patients with persistent asthma receiving inhaled corticosteroids were randomized to 7 days treatment with once-daily indacaterol 50, 100, 200, or 400 μg via multi-dose dry-powder inhaler (MDDPI; Certihaler), indacaterol 400 μg via single-dose dry-powder inhaler (SDDPI), or placebo. Serial 24-h spirometry was performed on days 1 and 7. Vital signs, laboratory evaluations, and adverse events were monitored. Results: All doses of indacaterol increased the mean time-standardized area under the curve of forced expiratory volume in 1 s (FEV1) from 22 to 24 h postdose ($P < 0.001$ vs placebo) on days 1 and 7, with clin. relevant treatment-placebo differences of 240, 260, 350, 300, and 380 mL on day 1 and 230, 220, 320, 250, and 270 mL on day 7 for indacaterol 50, 100, 200, and 400 μg via MDDPI and 400 μg via SDDPI, resp. All doses increased mean FEV1 ($P < 0.05$ vs placebo) from 5 min to 24 h postdose on days 1 and 7. All doses were well tolerated. Most adverse events were mild-to-moderate in seventy: most frequently reported were respiratory, thoracic, and mediastinal disorders. Conclusion: Once-daily dosing with indacaterol provided sustained 24-h bronchodilation in patients with moderate-to-severe asthma, with a satisfactory overall safety profile. Indacaterol 200 μg appears the optimum dose, offering the best efficacy/safety balance.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(once-daily indacaterol dose via Certihaler and single-dose dry-powder inhaler was safe, tolerable and provided sustained 24-h bronchodilation in patient with moderate-to-severe asthma)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

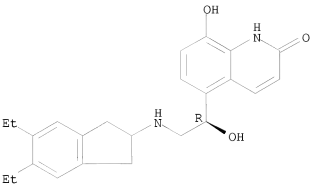
L8 ANSWER 25 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:346682 CA
TITLE: Efficacy and safety of single therapeutic and supratherapeutic doses of indacaterol versus salmeterol and salbutamol in patients with asthma
AUTHOR(S): Brookman, Laurence J.; Knowles, Lisa J.; Barbier, Michaela; Elharrar, Brigitte; Fuhr, Rainard; Pascoe, Steve
CORPORATE SOURCE: Novartis Horsham Research Centre, Horsham, UK
SOURCE: Current Medical Research and Opinion (2007), 23(12), 3113-3122
CODEN: CMROCX; ISSN: 0300-7995
PUBLISHER: Informa Healthcare
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Objective: This study compared the bronchodilator efficacy and safety of indacaterol with placebo, salbutamol and salmeterol, in patients with persistent asthma, at single therapeutic and supratherapeutic doses. Research design and methods: This was a randomized, open-label crossover study in adult subjects with asthma (forced expiratory volume in 1 s [FEV1] ≥ 60% predicted). In part A, patients (n = 20) received single doses of indacaterol 200 μg, salbutamol 200 μg, salmeterol 50 μg and placebo. In part B, patients (n = 19) received single doses of indacaterol 1000 μg, salbutamol 1000 μg, salmeterol 250 μg and placebo. Main outcomes measures; Results: For the primary endpoint, FEV1 area under the effect curve during 0-24 h, indacaterol 200 μg was statistically superior to placebo and salbutamol. Indacaterol 200 μg FEV1 was higher than placebo (5 min to 24 h), salbutamol 200 μg (4-24 h), and salmeterol 50 μg (5 and 15 min and 22 and 24 h). Few adverse events were reported; all were mild or moderate in severity. Initial changes were observed in glucose, potassium, heart rate and QTc interval, but all values remained within normal ranges. Values matched placebo levels after a shorter time for indacaterol 1000 μg than for salmeterol 250 μg. Conclusions: In this single-dose, open-label study, indacaterol 200 μg provided effective 24-h bronchodilation, with a longer duration than salmeterol 50 μg and a good overall safety profile. The sustained bronchodilation of indacaterol 1000 μg was not associated with sustained systemic adverse effects.

IT 312753-06-3, Indacaterol
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(single therapeutic or supratherapeutic doses of indacaterol showed effective 24-h bronchodilation with longer duration and overall safety profile compared to salmeterol and salbutamol in adult with persistent asthma)

RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



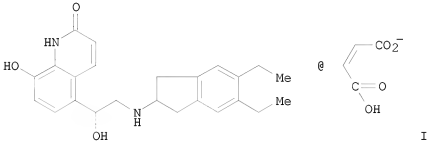
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:315167 CA
TITLE: Polymorphic crystal form of a indan-2-ylamino-hydroxyethyl-quinolinone maleate derivative as beta-adrenoceptor agonist
INVENTOR(S): Lohse, Olivier; Monnier, Stephanie; Jordine, Guido
PATENT ASSIGNEE(S): Novartis AG, Switz.
SOURCE: PCT Int. Appl., 25pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008025816	A1	20080306	WO 2007-EP59039	20070830
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1914227	A1	20080423	EP 2006-119895	20060831
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
AU 2007291270	A1	20080306	AU 2007-291270	20070830
CA 2659144	A1	20080306	CA 2007-2659144	20070830
EP 2066639	A1	20090610	EP 2007-803048	20070830
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,				

	AL, BA, HR, MK, RS					
IN	2009DN00466	A	20090612	IN 2009-DN466		20090120
NO	2009001234	A	20090330	NO 2009-1234		20090324
KR	2009049615	A	20090518	KR 2009-706531		20090330
PRIORITY APPLN. INFO.:				EP 2006-119895	A	20060831
				WO 2007-EP59039	W	20070830

GI



I

AB New polymorphic crystal form of (R)-5-[2-(5,6-diethyl-indan-2-ylamino)-1-hydroxyethyl]-8- hydroxy-1H -quinolin-2-one maleate (I) designated crystal form Qalpha that is useful in the treatment of inflammatory or obstructive airways diseases are claimed. A method for preparing crystal form Qalpha is also described. Thus, 50 mg (R)-5-[2-(5,6-diethyl-indan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one maleate was equilibrated in 1 mixture of 90% ethanol, 5% water, and 5% isopropanol over 3 days at 25 °C . The product was then filtered and dried for 10 min in the air to obtain white crystals.

IT 753498-25-8P
RL: PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polymorphic crystal form of indan-2-ylamino-hydroxyethyl-quinolinone maleate derivative as beta-adrenoceptor agonist)

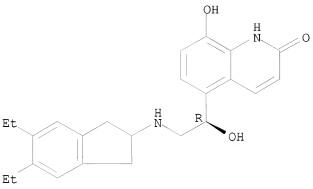
RN 753498-25-8 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 312753-06-3
CMF C24 H28 N2 O3

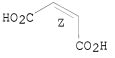
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 27 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:299514 CA
TITLE: Tolerability of indacaterol, a novel once-daily β2-agonist, in patients with asthma: a randomized, placebo-controlled, 28-day safety study
AUTHOR(S): Yang, Wiliam H.; Martinot, Jean Benoit; Pohunek, Petr; Beier, Jutta; Magula, Daniel; Cameron, Ray; Owen, Roger; Higgins, Mark
CORPORATE SOURCE: Allergy and Asthma Research Centre, Ottawa, ON, Can.
SOURCE: Annals of Allergy, Asthma, & Immunology (2007), 99(6), 555-561
CODEN: ALAIF6; ISSN: 1081-1206
PUBLISHER: American College of Allergy, Asthma, & Immunology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Background: Indacaterol is a novel, inhaled, once-daily β2-agonist. Objective: To investigate the safety and tolerability of indacaterol at doses of 400 and 800 μg/d. Methods: Randomized, double-blind, placebo-controlled, parallel-group, multicenter, 28-day study. Patients with persistent asthma (forced expiratory volume in 1 s [FEV1] ≥60% predicted, ≤1,600 μg of beclomethasone dipropionate or equivalent daily) received indacaterol, 400 μg (n = 59) or 800 μg (n = 59), or placebo (n = 26) once daily via a single-dose dry powder inhaler. Safety assessments were performed before and after dosing on days 1, 14, and 28,

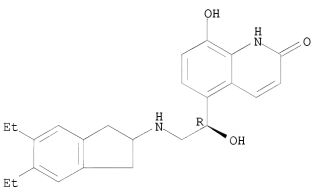
with particular attention to key β2-agonist safety variables. Results: A total of 144 patients were randomized, with 135 (93.8%) completing the study. Indacaterol was well tolerated: the incidence of adverse events (AEs) was similar between the active and placebo groups, and AEs, when they occurred, were mild or moderate for most (98.2%). There was no dose-response relationship between indacaterol and the incidence of AEs (400 μg, 40.7%; 800 μg, 37.3%; and placebo, 38.5%). Few AEs considered as β2-agonist class effects occurred (none leading to withdrawal). Small differences between indacaterol and placebo in mean serum potassium (≤-0.29 mmol/L) and glucose (≤0.93 mmol/L) levels were occasionally statistically significant ($P < .05$) but not regarded as clin. meaningful. As expected for a β2-agonist, there was some indication of a trend in QTc prolongation with increasing exposure (maximum mean change, 8.9 ms; $P < .05$ vs placebo). Significant increases in FEV1 ($P < .05$) were seen at all postbaseline time points for both indacaterol doses vs placebo, with indacaterol-placebo differences 30 min after dosing of 0.21 to 0.25 L and before dosing on days 14 and 28 (approx. 24 h after the previous dose) of 0.15 to 0.23 L. Conclusion: Indacaterol had a good overall safety profile and was well tolerated at both doses, with predose FEV1 results on days 14 and 28 indicating 24-h bronchodilator efficacy.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(400 and 800 μg indacaterol once-daily was safe, well tolerated and showed bronchodilator activity in patient with persistent asthma)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 28 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:175952 CA
TITLE: Metered dose dispensers for aerosols
INVENTOR(S): Jinks, Philip A.; Hodson, Peter D.; Hansen, Paul E.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 93pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008014161	A1	20080131	WO 2007-US73764	20070718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2043718	A1	20090408	EP 2007-813048	20070718
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
IN 2009CN00437	A	20090605	IN 2009-CN437	20090123
PRIORITY APPLN. INFO.:			GB 2006-14621 A	20060724
			WO 2007-US73764 W	20070718

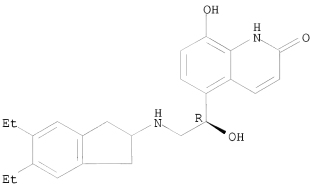
AB A pressurized metered dose dispenser for dispensing an aerosol formulation comprises particles of a medicament suspended in liquefied propellant, optionally in combination with one or more excipients, the dispenser comprising an aerosol container equipped with a metered dose valve, where a formulation chamber is defined in part by the internal walls of the container, and wherein the dispenser further comprises a porous, fluid permeable, particulate semi-permeable body located within the formulation chamber adjacent to the metered dose valve. A suspension aerosol formulation contains micronized Brilliant Blue food dye, submicron anhydrous lactose, oleic acid, dehydrated ethanol, and HFA 134a.

IT 312753-06-3, Indacaterol
RL: TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(metered dose dispensers for aerosols)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

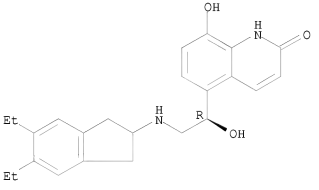
L8 ANSWER 29 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:159629 CA
TITLE: Pharmacological characterization of indacaterol, a novel once daily inhaled β2 adrenoceptor agonist, on small airways in human and rat precision-cut lung slices
AUTHOR(S): Sturton, Richard G.; Trifilieff, Alexandre; Nicholson, Andrew G.; Barnes, Peter J.
CORPORATE SOURCE: Thoracic Medicine, National Heart and Lung Institute, London, UK
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2008), 324(1), 270-275
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Indacaterol is a novel once daily inhaled β2 adrenoceptor agonist in clin. development. This study compared the properties of indacaterol with salmeterol, formoterol, and albuterol on small airways in precision-cut lung slices from human and rat contracted with carbachol and serotonin, resp. In human lung slices, the rank order of potency was formoterol ≥ salmeterol > indacaterol > albuterol, resp. Indacaterol had similar intrinsic efficacy to formoterol, followed by albuterol and salmeterol. The onset of action was fast for albuterol, formoterol, and indacaterol, whereas it was significantly slower for salmeterol. The duration of action ranking was indacaterol > salmeterol > formoterol > albuterol. When compared with human lung slices, in the rat lung slices, similar potency, intrinsic efficacy, and onset of action were observed for indacaterol, formoterol, and salmeterol. Albuterol had an increased potency when compared with human lung slices and a slower onset of action. In conclusion, our results show that the human lung slice system seems to be a good model to study the clin. properties of inhaled long-acting β2 adrenoceptor agonists and that caution is needed extrapolating from rat model to humans. Finally, using the human lung slice model, we have characterized indacaterol as a fast acting compound with a longer duration of action than salmeterol and formoterol.
IT 312753-06-3, Indacaterol

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. characterization of indacaterol, a novel once daily inhaled β2 adrenoceptor agonist, on small airways in human and rat precision-cut lung slices)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 30 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 148:128252 CA

TITLE: Compositions of glycopyrronium salt for inhalation

INVENTOR(S): Haeberlin, Barbara; Stowasser, Frank; Wirth, Wolfgang; Baumberger, Anton; Abel, Stephan; Kaerger, Sebastian; Kieckbusch, Thomas

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008000482	A1	20080103	WO 2007-EP5744	20070628

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM

AU 2007264000	A1	20080103	AU 2007-264000		20070628
CA 2655381	A1	20080103	CA 2007-2655381		20070628
EP 2037879	A1	20090325	EP 2007-764925		20070628
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
IN 2008DN10441	A	20090320	IN 2008-DN10441		20081217
MX 2008016356	A	20090116	MX 2008-16356		20081218
KR 2009023650	A	20090305	KR 2008-731818		20081229
CN 101484134	A	20090715	CN 2007-80025015		20081230
PRIORITY APPLN. INFO.:			GB 2006-13161	A	20060630
			WO 2007-EP5744	W	20070628

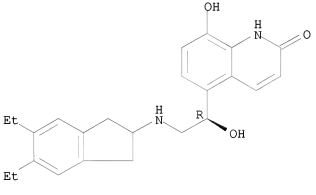
AB A process for preparing dry powder formulations of a glycopyrronium salt for inhalation that have good stability. The process involves (a) micronizing a glycopyrronium salt together with an anti-adherent agent, and (b) admixing carrier particles to form the dry powder formulation.

IT 312753-06-3, Indacaterol
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(compns. of glycopyrronium salt for inhalation)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 31 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	148:128248 CA
TITLE:	A pharmaceutical composition comprising an IKK2 inhibitor and a second active ingredient.
INVENTOR(S):	Andersson, Paul; Boerjesson, Lena; Eriksson, Christina; Larsson, Joakim
PATENT ASSIGNEE(S):	Astrazeneca A/B, Swed.
SOURCE:	PCT Int. Appl., 57pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008002246	A1	20080103	WO 2007-SE622	20070626

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-816996P P 20060628

OTHER SOURCE(S): MARPAT 148:128248

AB The present invention provides pharmaceutical compns. comprising an IKK2 inhibitor and a second active ingredient, and their use in therapy.

IT 312753-06-3

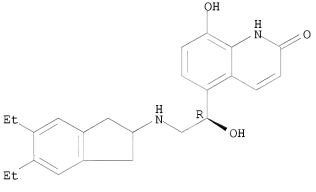
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical composition comprising IKK2 inhibitor and second active ingredient)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 32 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 148:106207 CA

TITLE: Quinolinone derivatives in salt or solvate form and their pharmaceutical compositions for treating obstructive airway diseases and inflammation mediated by the β2-adrenoreceptor

INVENTOR(S): Lohse, Olivier; Monnier, Stephanie; Reber, Jean-Louis

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008000839	A1	20080103	WO 2007-EP56632	20070702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1878722	A1	20080116	EP 2006-117129	20060713
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
AU 2007264946	A1	20080103	AU 2007-264946	20070702
CA 2654801	A1	20080103	CA 2007-2654801	20070702
EP 2044025	A1	20090408	EP 2007-819899	20070702
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
IN 2008DN09984	A	20090320	IN 2008-DN9984	20081201
MX 2008016542	A	20090119	MX 2008-16542	20081219
KR 2009023651	A	20090305	KR 2008-731819	20081229
CN 101479245	A	20090708	CN 2007-80024404	20081229
NO 2009000312	A	20090128	NO 2009-312	20090120

PRIORITY APPLN. INFO.:

GB 2006-13156	A	20060630
GB 2006-13158	A	20060630
GB 2006-13159	A	20060630
GB 2006-13160	A	20060630
EP 2006-117129	A	20060713
WO 2007-EP56632	W	20070702

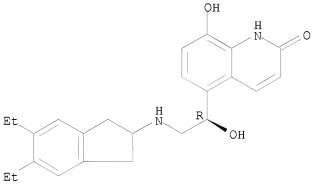
OTHER SOURCE(S): MARPAT 148:106207

AB Quinolinone derivative compds. in salt or solvate form are useful for treating diseases mediated by the β2-adrenoreceptor. Pharmaceutical compns. that contain the compds. and processes for preparing the compds. are also described. Thus, for the preparation of (R)-5-[2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one hydrogen succinate, suspension of 2.312 g (R)-5-[2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one base (5.890 mmoles) and 0.695 g succinic acid (5.890 mmoles) in 50 mL isopropanol was heated to 80°C and stirred. Crystallization took place spontaneously after .apprx.5 min; yield: 2.89 g white powder (96.3%).

IT 936910-08-6P

RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(quinolinone derivs. in salt or solvate form and their pharmaceutical compns. for treating obstructive airway diseases and inflammation mediated by the β2-adrenoreceptor)
RN 936910-08-6 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 33 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:70158 CA
TITLE: Methods of using a thiazole derivative
INVENTOR(S): Molfino, Nestor A.; Saito, Kosuke; Nagamoto, Hisashi
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007148806	A1	20071227	WO 2007-JP62640	20070618

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

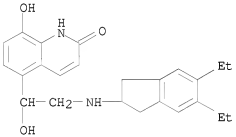
AU 2007261951	A1	20071227	AU 2007-261951		20070618
CA 2655296	A1	20071227	CA 2007-2655296		20070618
EP 2040686	A1	20090401	EP 2007-767448		20070618
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
MX 2008015380	A	20081215	MX 2008-15380		20081202
KR 20090211176	A	20090227	KR 2008-731044		20081219
CN 101472570	A	20090701	CN 2007-80023048		20081219
PRIORITY APPLN. INFO.:			US 2006-814545P	P	20060619
			WO 2007-JP62640	W	20070618

AB This invention relates to a method of treating a disease, disorder, or condition in a patient comprising administering to a patient a therapeutically effective amount of a thiazole derivative, tetomilast. The invention further relates to the administration of at least one β2-adrenergic receptor agonist, with tetomilast for treating a disease, disorder, or condition. The invention further relates to the administration of an anti-inflammatory steroid, with tetomilast and at least one beta2-adrenergic receptor agonist for treating a disease, disorder, or condition.

IT 312753-33-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-[2-(5,6-Diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one; using thiazole derivative for respiratory disease therapy)

RN 312753-33-6

CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 34 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 147:455537 CA

TITLE: Aerosol formulation comprising 6α,9α-difluoro-17α-[(2-furanylcarbonyl)oxy]-11β-hydroxy-16α-methyl-3-oxoandrosta-1,4-diene-17β-carbothioic acid S-fluoromethyl ester

INVENTOR(S): Capecchi, John T.; Stefely, James S.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

SOURCE: PCT Int. Appl., 47pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117911	A2	20071018	WO 2007-US64512	20070321
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007234990	A1	20071018	AU 2007-234990	20070321
CA 2646578	A1	20071018	CA 2007-2646578	20070321
EP 1996158	A2	20081203	EP 2007-759008	20070321
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
US 20090123391	A1	20090514	US 2008-293205	20080916
PRIORITY APPLN. INFO.:			US 2006-784670P P	20060322
			WO 2007-US64512 W	20070321

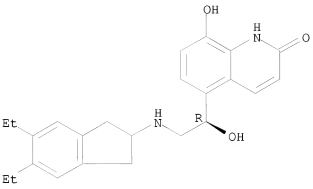
AB This invention relates to pharmaceutical aerosol formulation includes a therapeutically effective amount of particulate medicament 6α,9α-difluoro-17α-[(2-furanylcarbonyl)oxy]-11 β-hydroxy-16α-methyl-3-oxoandrosta-1,4-diene-17β-carbothioic acid S-fluoromethyl ester or a solvate thereof, a propellant selected from the group consisting of 1,1,1,2-tetrafluoroethane, 1,1,1,2,3,3,3-heptafluoro-n-propane or mixts. thereof, and a biocompatible polymer.

IT 312753-06-3, Indacaterol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aerosol formulation comprising 6α,9α-difluoro-17α-[(2-furanylcarbonyl)oxy]-11 β-hydroxy-16α-Me-3-oxoandrosta-1,4-diene-17β-carbothioic acid S-fluoromethyl ester)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 35 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:440002 CA
TITLE: Safety, tolerability and efficacy of indacaterol, a novel once-daily β2-agonist, in patients with COPD: A 28-day randomized, placebo controlled clinical trial
AUTHOR(S): Beier, Jutta; Chanez, Pascal; Martinot, Jean-Benoit; Schreurs, A. J. M.; Tkacova, Ruzena; Bao, Weibin; Jack, Damon; Higgins, Mark
CORPORATE SOURCE: Insaf Respiratory Research Institute, Wiesbaden, D-65187, Germany
SOURCE: Pulmonary Pharmacology & Therapeutics (2007), 20(6), 740-749
CODEN: PPTHFJ; ISSN: 1094-5539
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In patients with chronic obstructive pulmonary disease (COPD) classified as moderate onwards, Global Initiative for Chronic Obstructive Lung Disease (GOLD) Guidelines recommend regular treatment with one or more long-acting bronchodilators, such as β2-agonists or anticholinergics. In contrast to currently available long-acting β2-agonists, which have a duration of action of 12 h, indacaterol has demonstrated effective 24-h bronchodilation on once-daily dosing. A double-blind, randomized, placebo-controlled study was conducted to compare the safety, tolerability and efficacy of indacaterol with that of placebo, over a 28-day period, in patients with moderate COPD (as defined by GOLD 2001 criteria; equivalent to moderate-to-severe COPD in the GOLD 2005 criteria). Patients were randomized 2:2:1 to receive indacaterol 400 μg or 800 μg or placebo once-daily (between 07:00 and 11:00 h) via a single-dose dry-powder inhaler for 28 days. Assessments included monitoring of adverse events (AEs), blood chemical (including serum potassium and blood glucose), vital signs (blood pressure and heart rate), electrocardiograms and spirometry. One hundred and sixty-three patients were randomized, with 155 (95%) completing the study. There were no statistically significant differences between treatment groups in the overall incidence of AEs, with AEs reported by 35%, 51% and 25% of patients in the indacaterol 400 μg, 800 μg and placebo groups, resp. The majority of AEs were mild or moderate in severity, and there were no study-drug related serious AEs. There were no statistically significant differences between indacaterol groups and

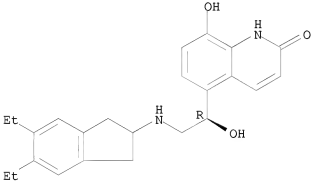
placebo in mean pulse rate and QTc interval, and isolated statistically significant ($p < 0.05$) treatment-placebo differences in mean blood pressure, blood glucose and serum potassium. There was a statistically significant improvement in FEV1 vs. placebo at all post-baseline timepoints for both indacaterol treatment groups; 30 min post-dose, adjusted mean±SE FEV1 indacaterol-placebo differences were: Day 1, 220±36 mL and 210±36 mL; Day 14, 320±50 mL and 270±50 mL; Day 28, 260±61 mL and 200±61 mL for 400 and 800 μg, resp. (all $p <$ 0.01 vs. placebo). Bronchodilation was still apparent after 24 h, with pre-dose (i.e. trough) adjusted mean±SE FEV1 indacaterol-placebo differences of: Day 14, 230±44 mL and 210±44 mL; Day 28, 220±49 mL and 210±49 mL for indacaterol 400 and 800 μg, resp. (all $p <$ 0.0001 vs. placebo). Once-daily indacaterol was well tolerated at doses up to 800 μg with a good overall safety profile. There was no statistical difference at any dose between the safety of indacaterol and placebo. Furthermore, this study supports the previously demonstrated 24-h bronchodilator efficacy of indacaterol.1.

IT 312753-06-3, Indacaterol
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(safety, tolerability and efficacy of indacaterol, a novel once-daily β2-agonist, in patients with chronic obstructive pulmonary disease)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 36 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 147:392432 CA

TITLE: Aerosol formulation comprising biocompatible polymer

INVENTOR(S): Capecchi, John; Stefely, James; Riley, Trevor

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; 3M Innovative Properties Company; Glaxo Wellcome Manufacturing Pte Ltd.

SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007109698	A2	20070927	WO 2007-US64462	20070321
WO 2007109698	A3	20081218		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007226899	A1	20070927	AU 2007-226899	20070321
CA 2646236	A1	20070927	CA 2007-2646236	20070321
EP 2012797	A2	20090114	EP 2007-758963	20070321
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
IN 2008KN03473	A	20090220	IN 2008-KN3473	20080826
NO 2008003760	A	20081217	NO 2008-3760	20080901
MX 2008011967	A	20090114	MX 2008-11967	20080919
CN 101415428	A	20090422	CN 2007-80009835	20080919
KR 2008110854	A	20081219	KR 2008-725825	20081022

PRIORITY APPLN. INFO.: US 2006-784634P P 20060322
WO 2007-US64462 W 20070321

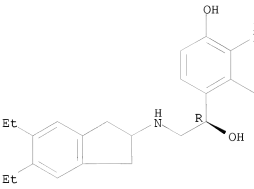
AB The present invention relates to novel pharmaceutical aerosol formulations, processes for their preparation, their use in therapy, metered dose inhalers containing said formulations and the use of biocompatible polymers in reducing the variability in the content uniformity and/or in providing enhanced fine particle fraction (FPF) in said formulations.

IT 312753-06-3, Indacaterol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aerosol formulation comprising biocompatible polymer)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 37 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:315055 CA
TITLE: Compounds and methods of treating disorders associated with activation of metachromatic cells
INVENTOR(S): Maghni, Karim; Ouaked, Nadia; Lefort, Bertrand; Favret, Sandra
PATENT ASSIGNEE(S): Valorisation Recherche HSCM, Limited Partnership, Can.
SOURCE: PCT Int. Appl., 100pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007096782	A2	20070830	WO 2007-IB1621	20070222
WO 2007096782	A3	20090205		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
CA 2643130	A1	20070830	CA 2007-2643130	20070222
EP 1996179	A2	20081203	EP 2007-734849	20070222
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
PRIORITY APPLN. INFO.:			US 2006-775324P	P 20060222
			WO 2007-IB1621	W 20070222

AB The present invention relates to neurokinin- 1 (NK-1) receptor antagonists in combination with an inhibitor of metachromatic cell (i.e., mast cells and basophils) activation, such as an anti-inflammatory agent, an

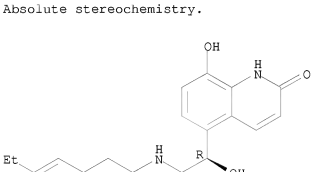
immunosuppressor, or a kinase inhibitor, and use of such combinations in the treatment of disorders associated with activation of metachromatic cells. Disorders associated with the activation of metachromatic cells include allergic/non-allergic rhinitis, allergic/non-allergic asthma, allergic/non-allergic urticaria, immuno-inflammatory disorders, metachromatic cell-related autoimmune disorders, transplant rejection, and other metachromatic cell-related disorders.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of disorders associated with activation of metachromatic cells using neurokinin 1 receptor antagonists in combination with inhibitors of metachromatic cell activation)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 38 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 147:173628 CA

TITLE: Preparation of an inhalable dry powder formulation

INVENTOR(S): Eber, Marcus; Kieckbusch, Thomas; Kaerger, Sebastian

PATENT ASSIGNEE(S): Novartis AG, Switz.

SOURCE: Brit. UK Pat. Appl., 9pp.
CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2434098	A	20070718	GB 2005-26446	20051223
PRIORITY APPLN. INFO.:			GB 2005-26446	20051223

AB A process for preparing dry powder formulations for inhalation comprises mixing one or more active pharmaceutical ingredients (e.g., indacaterol maleate) with one or more ternary agents (e.g., Mg or Ca stearate) and then admixing carrier particles (e.g. lactose).

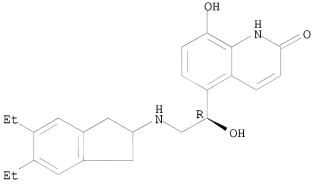
IT 753498-25-8
RL: PEP (Physical, engineering or chemical process); TEM (Technical or

engineered material use); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(inhalable dry powder formulation preparation)
RN 753498-25-8 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 312753-06-3
CMF C24 H28 N2 O3

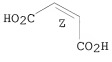
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 39 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:133794 CA
TITLE: Indacaterol, a novel inhaled β2-agonist provides sustained 24-h bronchodilation in asthma
AUTHOR(S): Beeh, K. M.; Derom, E.; Kanniess, F.; Cameron, R.; Higgins, M.; van As, A.
CORPORATE SOURCE: Insaf Respiratory Research Institute, Wiesbaden, Germany
SOURCE: European Respiratory Journal (2007), 29(5), 871-878
CODEN: ERJOEI; ISSN: 0903-1936

PUBLISHER: European Respiratory Society
DOCUMENT TYPE: Journal
LANGUAGE: English

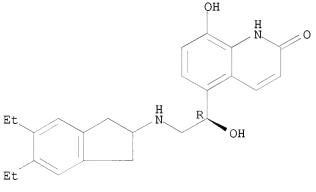
AB The present study examined the bronchodilator and safety profiles of single-dose indacaterol in intermittent or persistent asthma. In the present double-blind crossover study, 42 patients were randomized to receive single doses of indacaterol (50, 100, 200 and 400 μg) or placebo via a hydrofluoroalkane pressurized metered-dose inhaler. The primary efficacy comparisons were the per cent changes in forced expiratory volume in one second (FEV1) between indacaterol and placebo 30 min and 21 h post-dose. All doses resulted in prolonged bronchodilation, with indacaterol 200 and 400 μg meeting pre-specified efficacy criteria. The mean percentage increases in FEV1 from placebo with indacaterol 200 and 400 μg were 7.6 and 14.9%, resp., at 30 min, and 7.5 and 10.4%, resp., at 21 h post-dose. At these doses, changes in mean FEV1 relative to placebo were statistically significant from 5 min to 25 h, inclusive. At 5 min, the geometric least squares mean values for FEV1 were 3.08 and 3.22 L for the 200 and 400 μg doses, resp., compared with 2.99 L for placebo. At 24 h after dosing, the baseline-adjusted geometric least square mean FEV1 was 3.13, 3.11, 3.24 and 3.30 L for indacaterol 50, 100, 200 and 400 μg, resp., and 2.98 L for placebo. All treatments were well tolerated. Once-daily indacaterol at doses of 200 and 400 μg provided sustained 24-h bronchodilation, with a rapid onset and a good tolerability and safety profile.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bronchodilator and safety profiles single-dose indacaterol in treatment of intermittent and persistent asthma)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 40 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:132518 CA
TITLE: Ultra-long-acting β2-adrenoceptor agonists: an emerging therapeutic option for asthma and COPD?

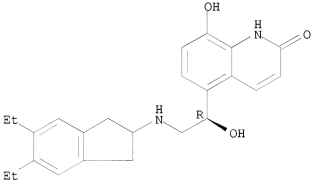
AUTHOR(S): Matera, Maria Gabriella; Cazzola, Mario
CORPORATE SOURCE: Department of Experimental Medicine, Unit of Pharmacology, The Second University of Naples, Naples, Italy
SOURCE: Drugs (2007), 67(4), 503-515
CODEN: DRUGAY; ISSN: 0012-6667
PUBLISHER: Wolters Kluwer Health
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. There has been a real interest recently in developing once-daily β2-adrenoceptor agonists (ultra-long-acting β2-adrenoceptor agonists [ultra-LABAs]) for treating asthma and chronic obstructive pulmonary disease (COPD) in an attempt to simplify their management, although an increasing amount of convincing data show an association of LABAs with a rise in asthma-related deaths and life-threatening experiences. This paper reviews the effects of different ultra-LABAs that are at varying stages of development. Arformoterol, carmoterol, indacaterol and GSK-159797 are ultra-LABAs that are likely to be introduced into the market before 2010. It is plausible that once-daily dose administration of an LABA will lead to increased convenience for patients, which may also lead to enhancement of adherence, and may have advantages leading to improved overall clin. outcomes in patients with asthma and COPD.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ultra-long-acting indacaterol may lead to increased convenience, enhanced adherence and improve clin. outcome in patient with asthma and chronic obstructive pulmonary disease)

RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 41 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:125812 CA
TITLE: Novel combination of anticholinergics, β2-adrenoceptor agonists, antileukotrienes

(leukotriene receptor antagonists), glucocorticoids and/or PDE 4 inhibitors for the treatment of inflammatory diseases
INVENTOR(S): Maus, Joachim; Kastrup, Horst; Bauhofer, Artur; Cnota, Peter; Szelenyi, Istvan
PATENT ASSIGNEE(S): Meda Pharma Gmbh & Co KG, Germany
SOURCE: PCT Int. Appl., 39pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007071313	A2	20070628	WO 2006-EP11536	20061201
WO 2007071313	A3	20071011		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006329042	A1	20070628	AU 2006-329042	20061201
CA 2632780	A1	20070628	CA 2006-2632780	20061201
EP 1971369	A2	20080924	EP 2006-829226	20061201
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
JP 2009520711	T	20090528	JP 2008-546173	20061201
US 20070196285	A1	20070823	US 2006-642967	20061221
IN 2008KN01510	A	20090102	IN 2008-KN1510	20080415
CN 101321539	A	20081210	CN 2006-80045583	20080604
MX 2008008286	A	20080710	MX 2008-8286	20080620
NO 2008003090	A	20080911	NO 2008-3090	20080709

PRIORITY APPLN. INFO.: US 2005-752058P P 20051221
WO 2006-EP11536 W 20061201

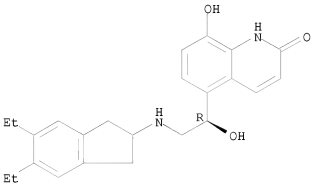
AB The invention relates to novel combinations based on anticholinergics, β2-adrenoceptor agonists, PDE 4 inhibitors, glucocorticoids, and leukotriene-receptor antagonists, process for their production and their use for the treatment of inflammatory diseases, preferably respiratory diseases as bronchial asthma and chronic obstructive pulmonary diseases or rheumatic or autoimmune diseases. Thus, 3-in-1 combination (budesonide, rolipram and R,R-glycopyrrolate) resulted in statistically significant over-additive inhibition of the TNFα release.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of anticholinergics and β2-adrenoceptor agonists and antileukotrienes and glucocorticoids for treatment of inflammatory diseases)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 42 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:16522 CA
TITLE: Combination of β2-adrenoceptor agonist, glycopyrrolate and antiinflammatory corticosteroid for therapy of inflammatory or obstructive airways diseases
INVENTOR(S): Collingwood, Stephen Paul; Haeberlin, Barbara
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 34pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007057221	A2	20070524	WO 2006-EP11113	20061120
WO 2007057221	A3	20071122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006314722	A1	20070524	AU 2006-314722	20061120
CA 2628170	A1	20070524	CA 2006-2628170	20061120
EP 1965792	A2	20080910	EP 2006-818678	20061120
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

JP 2009516661	T	20090423	JP 2008-540531		20061120
IN 2008DN04132	A	20080801	IN 2008-DN4132		20080514
CN 101309683	A	20081119	CN 2006-80042891		20080516
MX 2008006500	A	20080528	MX 2008-6500		20080520
KR 2008069197	A	20080725	KR 2008-711997		20080520
US 20080286363	A1	20081120	US 2008-94373		20080520
PRIORITY APPLN. INFO.:			GB 2005-23656	A	20051121
			WO 2006-EP11113	W	20061120

OTHER SOURCE(S): CASREACT 147:16522; MARPAT 147:16522
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A medicament comprising, sep. or together, (A) a compound of formula (I; R1 = H, OH, C1-10-alkoxy; R2, R3 = H, C1-10-alkyl; R4-7 = H, halogen, cyano, OH, C1-10-alkoxy, C6-10-aryl, C1-10-alkyl, substituted C1-10-alkyl, C2-10-alkenyl, trialkylsilyl, carboxy, C1-10-alkoxycarbonyl, amido; R4-R5, R5-R6 or R6-R7 together with carbon atoms to which they are attached denote carbocyclic or heterocyclic ring; Rx, Ry = CH2 or (CH2)2; W = II; R8-10 = H, C1-4-alkyl) in free, salt or solvate form, (B) a glycopyrronium salt, and (C) a compound of formula (III; T = monovalent cyclic organic group having 3-15 atoms in the ring system); for simultaneous, sequential or sep. administration in the treatment of an inflammatory or obstructive airways disease is proposed. The proposed medicament may further comprise another drug substance which is an antiinflammatory, a bronchodilator, an antihistamine, a decongestant or an antitussive drug substance. The medicament is in inhalable form, as an aerosol or a dry powder. Medicaments of the invention are advantageous in the treatment, symptomatic or prophylactic, of inflammatory or obstructive airways disease, exhibiting highly effective bronchodilatory and antiinflammatory properties. Thus, gelatin capsules suitable for use in a capsule inhaler were prepared by mixing dry powders of (R)-5-[2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one maleate (preparation given) 20 parts, 3-[(Cyclopentylhydroxyphenylacetyl)oxy]-1,1-dimethylpyrrolidinium bromide 50 parts, 3-methylthiophene-2-carboxylic acid (6S,9R,10S,1S,13S,16R,17R)-9-chloro-6-fluoro-11-hydroxy-17-methoxycarbonyl-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta[a]phenanthren-17-yl ester 50 parts, and lactose monohydrate 19880 parts.

IT 753498-25-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combination of β2-adrenoceptor agonist, glycopyrrolate and antiinflammatory corticosteroid for therapy of inflammatory or obstructive airways diseases)

RN 753498-25-8 CA

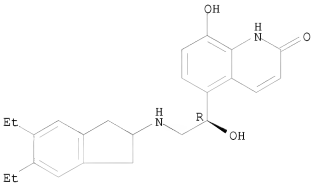
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 312753-06-3

CMF C24 H28 N2 O3

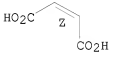
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L8 ANSWER 43 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:514776 CA
TITLE: Treatment of asthma and COPD using triple-combination therapy
INVENTOR(S): Collingwood, Stephen Paul; Haeberlin, Barbara
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 32pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007057219	A1	20070524	WO 2006-EP11108	20061120

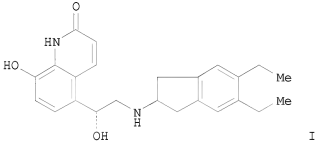
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2006314720	A1	20070524	AU 2006-314720		20061120
CA 2628321	A1	20070524	CA 2006-2628321		20061120
EP 1957072	A1	20080820	EP 2006-818673		20061120
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2009516660	T	20090423	JP 2008-540530		20061120
IN 2008DN02984	A	20080808	IN 2008-DN2984		20080410
US 20080279948	A1	20081113	US 2008-93663		20080514
MX 2008006501	A	20080528	MX 2008-6501		20080520
KR 2008068085	A	20080722	KR 2008-711991		20080520
CN 101312729	A	20081126	CN 2006-80043314		20080520
PRIORITY APPLN. INFO.:			GB 2005-23655	A	20051121
			WO 2006-EP11108	W	20061120

OTHER SOURCE(S): MARPAT 146:514776

GI



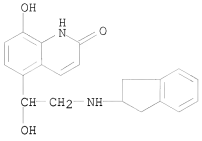
I

AB A medicament comprising, sep. or together (A) a compound with β2-agonist activity such as (R)-5-[2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one maleate (I maleate), (B) a glycopyrronium salt (which are antimuscarinic agents), and (C) mometasone furoate (an anti-inflammatory corticosteroid) for simultaneous, sequential or sep. administration in the treatment of an obstructive airways disease.

IT 312753-16-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of obstructive airway disease using triple-combination therapy with β2 adrenergic agonist and glycopyrronium salt and mometasone furoate and other agents)

RN 312753-16-5 CA

CN 2(1H)-Quinolinone, 5-[2-[(2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

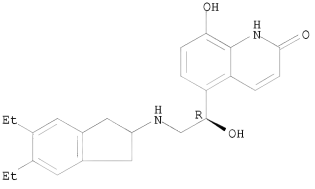
L8 ANSWER 44 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:475297 CA
TITLE: Effect of indacaterol, a novel long-acting β2-agonist, on isolated human bronchi
AUTHOR(S): Naline, E.; Trifilieff, A.; Fairhurst, R. A.; Advenier, C.; Molimard, M.
CORPORATE SOURCE: Research Unit EA220, Faculty of Medicine, Hospital Foch, Versailles University, Suresnes, Fr.
SOURCE: European Respiratory Journal (2007), 29(3), 575-581 CODEN: ERJOEI; ISSN: 0903-1936
PUBLISHER: European Respiratory Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Indacaterol is a novel β2-adrenoceptor agonist in development for the once-daily treatment of asthma and chronic obstructive pulmonary disease. The present study evaluated the relaxant effect of indacaterol on isolated human bronchi obtained from lungs of patients undergoing surgery for lung carcinoma. Potency (-logEC50), maximal relaxant effect (Emax) and onset of action were determined at resting tone. Duration of action was determined against cholinergic neural contraction induced by elec. field stimulation (EFS). At resting tone, -logEC50 and Emax values were 8.82 ± 0.41 and 77 ± 5% for indacaterol, 9.84 ± 0.22 and 94 ± 1% for formoterol, 8.36 ± 0.16 and 74 ± 4% for salmeterol, and 8.43 ± 0.22 and 84 ± 4% for salbutamol, resp. In contrast to salmeterol, indacaterol did not antagonize the isoprenaline response. Indacaterol's onset of action (7.8 ± 0.7 min) was not significantly different from that of formoterol (5.8 ± 0.7 min) or salbutamol (11.0 ± 4.0 min), but it was significantly faster than that of salmeterol (19.4 ± 4.3 min). EFS-induced contractions were inhibited with -logIC50 values of 6.96 ± 0.13 (indacaterol), 8.96 ± 0.18 (formoterol), 7.18 ± 0.34 (salmeterol) and 6.39 ± 0.26 (salbutamol). Duration of action was >12 h for indacaterol and salmeterol, and 35.3 ± 8.8 and 14.6 ± 3.7 min for formoterol and salbutamol, resp. In isolated human bronchi, indacaterol behaved as a long-acting β2-adrenoceptor agonist with high intrinsic efficacy and fast onset of action.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(indacaterol showed long-acting β2-adrenoceptor agonist activity with high intrinsic efficacy and fast onset of action like formoterol or salbutamol and faster than salmeterol in bronchi isolated from lung

carcinoma patient)
RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 45 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:462251 CA
TITLE: Preparation of indazolyl-substituted sulfonamides and analogs as glucocorticoid receptor modulators in the treatment of inflammatory diseases
INVENTOR(S): Bladh, Haakan; Dahmen, Jan; Hansson, Thomas; Henriksson, Krister; Lepistoe, Matti; Nilsson, Stinabritt
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Schering A.-G.
SOURCE: PCT Int. Appl., 91pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007046747	A1	20070426	WO 2006-SE1181	20061018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2628577	A1	20070426	CA 2006-2628577	20061018

EP 1940800	A1	20080709	EP 2006-799780		20061018
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2009512687	T	20090326	JP 2008-536543		20061018
IN 2008DN02109	A	20090320	IN 2008-DN2109		20080312
CN 101291914	A	20081022	CN 2006-80039290		20080421
US 20090124607	A1	20090514	US 2008-90442		20080814
PRIORITY APPLN. INFO.:			SE 2005-2325	A	20051020
			SE 2006-747	A	20060403
			WO 2006-SE1181	W	20061018

OTHER SOURCE(S): MARPAT 146:462251

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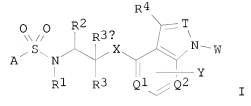

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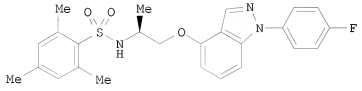


II

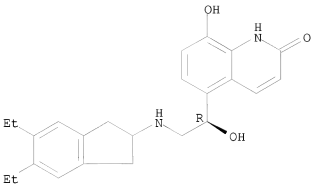
AB Title compds. represented by the formula I [wherein A = Ph, naphthyl, pyridinyl, etc.; R1 = H; R2 = H, (halo)alkyl or cyclo(halo)alkyl; R3 = H or (halo)alkyl; R3a = H or alkyl; R4 = H, halo or (halo)alkyl; T = CH or N; Q1, Q2 = independently CY' or N; Y, Y' = H, halo, alkyl, etc.; W = Ph, cycloalkyl, thienyl, isoxazolyl, etc.; X = CH2, S, NH, etc.; and pharmaceutically acceptable salts thereof] were prepared as glucocorticoid receptor modulators. For example, II was provided in a multi-step synthesis starting from reaction of L-alaninol with 2,4,6-trimethylbenzenesulfonyl chloride. II was tested in human glucocorticoid receptor assay with an IC50 value of 2.9 nM. Thus, I and their pharmaceutical compns. are useful in treatment of a glucocorticoid receptor mediated disease state.

IT 312753-06-3, Indacaterol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy agent; preparation of indazolyl-substituted sulfonamides and analogs as glucocorticoid receptor modulators in treatment of inflammatory diseases)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

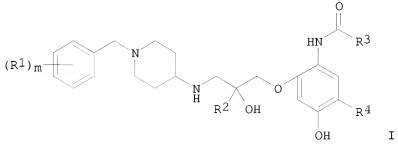
L8 ANSWER 46 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:302287 CA
TITLE: Combination of compounds, which can be used in the treatment of respiratory diseases, especially chronic obstructive pulmonary disease (COPD) and asthma
INVENTOR(S): Eriksson, Tomas; Hansson, Johan
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 53pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007024182	A1	20070301	WO 2006-SE970	20060824
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006282121	A1	20070301	AU 2006-282121	20060824
CA 2620847	A1	20070301	CA 2006-2620847	20060824
EP 1922074	A1	20080521	EP 2006-769626	20060824
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009506028	T	20090212	JP 2008-527874	20060824
MX 2008002321	A	20080314	MX 2008-2321	20080218
KR 2008038361	A	20080506	KR 2008-704512	20080225

IN 2008DN01805	A	20090320	IN 2008-DN1805			20080229
NO 2008001480	A	20080516	NO 2008-1480			20080326
CN 101296701	A	20081029	CN 2006-80040238			20080428
PRIORITY APPLN. INFO.:			SE 2005-1896		A	20050826
			SE 2006-1220		A	20060601
			WO 2006-SE970		W	20060824

OTHER SOURCE(S): MARPAT 146:302287

GI



I

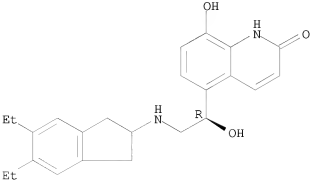
AB The present invention provides pharmaceutical compns. comprising a glucocorticosteroid and a compound of formula (I): wherein m is 0, 1 or 2; each R1 independently represents halogen or cyano; R2 represents a hydrogen atom or methyl; R3 represents the group C1-C4 alkyl; and R4 represents hydrogen or halogen; or a pharmaceutically acceptable salt thereof.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of compds., which can be used in the treatment of respiratory diseases, especially COPD and asthma)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



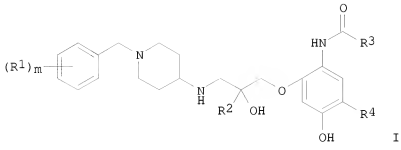
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 47 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:266794 CA
TITLE: A combination of compounds, which can be used in the treatment of respiratory diseases, especially chronic obstructive pulmonary disease (COPD) and asthma
INVENTOR(S): Eriksson, Tomas; Hansson, Johan
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 44pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007024183	A1	20070301	WO 2006-SE971	20060824
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006282122	A1	20070301	AU 2006-282122	20060824
CA 2620281	A1	20070301	CA 2006-2620281	20060824
EP 1922070	A1	20080521	EP 2006-769627	20060824
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
JP 2009506029	T	20090212	JP 2008-527875	20060824
MX 2008002320	A	20080314	MX 2008-2320	20080218
KR 2008038178	A	20080502	KR 2008-704511	20080225
IN 2008DN01804	A	20090320	IN 2008-DN1804	20080229
NO 2008001483	A	20080516	NO 2008-1483	20080326
CN 101296698	A	20081029	CN 2006-80040270	20080428

PRIORITY APPLN. INFO.:	SE 2005-1895	A	20050826
	SE 2006-1221	A	20060601
	WO 2006-SE971	W	20060824

OTHER SOURCE(S): MARPAT 146:266794
GI



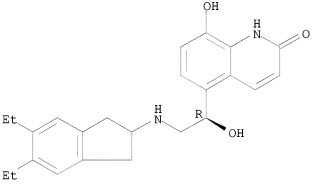
AB The present invention provides pharmaceutical compns. comprising a β2-agonist, and a compound of formula (I): wherein m is 0, 1 or 2;each R1 independently represents halogen or cyano; R2 represents a hydrogen atom or methyl; R3 represents the group C1-C4 alkyl; and R4 represents hydrogen or halogen; or a pharmaceutically acceptable salt thereof.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of compds., which can be used in treatment of respiratory diseases, especially COPD and asthma)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



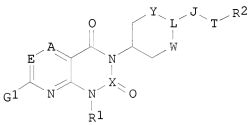
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 48 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:142674 CA
TITLE: Preparation of pyridopyrimidine derivatives as phosphodiesterase-4 (PDE4) inhibitors for the treatment of inflammatory and immune diseases
INVENTOR(S): Lisius, Annea; Nikitidis, Grigorios; Sjoe, Peter
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 102pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007004958	A1	20070111	WO 2006-SE826	20060703
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1922318	A1	20080521	EP 2006-758019	20060703
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
JP 2009500405	T	20090108	JP 2008-520211	20060703
US 20080227797	A1	20080918	US 2008-994572	20080103
IN 2008DN00716	A	20090320	IN 2008-DN716	20080123
CN 101258152	A	20080903	CN 2006-80032368	20080304
PRIORITY APPLN. INFO.:			SE 2005-1564 A	20050704
			SE 2006-516 A	20060308
			WO 2006-SE826 W	20060703

OTHER SOURCE(S): MARPAT 146:142674
GI

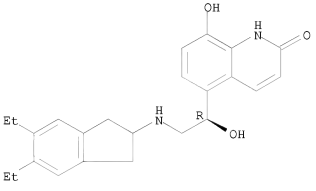


I

AB The title compds. I [A = N, CA1; E = N, CE1; T = CO, SO2; X = C, S; W = (CH2)n; Y = (CH2)p; n, p = 0 or 1; L = CH, N; when L is CH then J is NH; when L is N then J is absent and T is bonded directly to L; R1 = (un)substituted aryl, heteroaryl; R2 = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl, etc.; A1, E1, G1 = H, halo, cyano, etc.] or N-oxides thereof or pharmaceutically acceptable salts thereof are prepared Thus, N-(cis-4-[1-(3,4-difluorophenyl)-6-fluoro-2,4-dioxo-1,4-dihydropyrido[2,3-d]pyrimidin-3(2H)-yl]cyclohexyl)-2-hydroxy-5-(hydroxymethyl)benzamide was prepared in a multistep process starting from 2-chloro-5-fluoronicotinic acid and 3,4-difluoroaniline. In an assay for inhibition of human PDE4B2, compds. of this invention showed IC50 values

of 0.4 nM to 432 nM.
IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical combination; preparation of pyridopyrimidine derivs. as PDE4 inhibitors for treatment of inflammatory and immune diseases)
RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 49 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:87582 CA
TITLE: MRP4 inhibitors for the treatment of respiratory diseases
INVENTOR(S): Goeggel, Rolf; Cui, Yunhai
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma Gmbh & Co. KG
SOURCE: PCT Int. Appl., 63pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006134022	A1	20061221	WO 2006-EP62690	20060530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,				

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

CA 2611907	A1	20061221	CA 2006-2611907		20060530
EP 1898894	A1	20080319	EP 2006-763346		20060530
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2008543806	T	20081204	JP 2008-516268		20060530
US 20060286041	A1	20061221	US 2006-424596		20060616
PRIORITY APPLN. INFO.:			EP 2005-105363	A	20050617
			WO 2006-EP62690	W	20060530

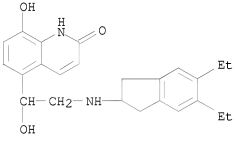
OTHER SOURCE(S): MARPAT 146:87582

AB The present invention relates to the use of MRP4 inhibitors for the treatment of respiratory diseases, pharmaceutical compns. containing them and processes for the preparation thereof.

IT 312753-33-6, 5-[2-(5,6-Diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(betamimetic; MRP4 inhibitors in combination with other therapeutic agents for treatment of respiratory diseases)

RN 312753-33-6 CA

CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 50 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 146:50272 CA

TITLE: Indacaterol derivatives and phosphodiesterase inhibitors for the treatment of airway diseases

INVENTOR(S): Trifilieff, Alexandre

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 31pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006128675	A1	20061207	WO 2006-EP5154	20060530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

AU 2006254318	A1	20061207	AU 2006-254318		20060530
CA 2609522	A1	20061207	CA 2006-2609522		20060530
EP 1890699	A1	20080227	EP 2006-753987		20060530
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2008542319	T	20081127	JP 2008-514006		20060530
IN 2007DN08166	A	20080704	IN 2007-DN8166		20071022
CN 101180058	A	20080514	CN 2006-80017568		20071120
US 20090041675	A1	20090212	US 2007-921189		20071128
MX 2007015081	A	20080117	MX 2007-15081		20071129
KR 2008013960	A	20080213	KR 2007-727824		20071129
PRIORITY APPLN. INFO.:			GB 2005-11066	A	20050531
			WO 2006-EP5154	W	20060530

OTHER SOURCE(S): MARPAT 146:50272

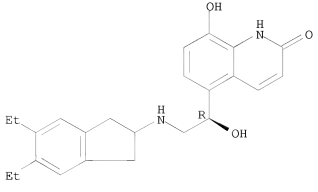
AB A medicament comprising sep. or together, (A) a compound of formula (I) in free or salt or solvate form, where W, Rx, Ry, R1, R2, R3, R4, R5, R6 and R7 have the meanings as indicated in the specification, and (B) one or more of compds. selected from the group consisting of PDE4 inhibitors and PDE5 inhibitors, for simultaneous, sequential or sep. administration in the treatment of an inflammatory or obstructive airways disease.

IT 312753-06-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(indacaterol derivs. and phosphodiesterase inhibitors for treatment of airway diseases)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS

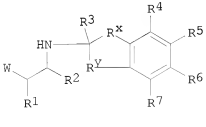
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 51 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:50270 CA
TITLE: Medicament containing organic compounds
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH; Trifilieff, Alexandre
SOURCE: PCT Int. Appl., 23pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006128674	A2	20061207	WO 2006-EP5153	20060530
WO 2006128674	A3	20070405		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006254317	A1	20061207	AU 2006-254317	20060530
CA 2608704	A1	20061207	CA 2006-2608704	20060530
EP 1962848	A2	20080903	EP 2006-753986	20060530
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008542318	T	20081127	JP 2008-514005	20060530
IN 2007DN08165	A	20071123	IN 2007-DN8165	20071022
CN 101180059	A	20080514	CN 2006-80017976	20071123
MX 2007015086	A	20080117	MX 2007-15086	20071129
KR 2008013961	A	20080213	KR 2007-727825	20071129

PRIORITY APPLN. INFO.: GB 2005-11065 A 20050531
WO 2006-EP5153 W 20060530

OTHER SOURCE(S): MARPAT 146:50270
GI



I

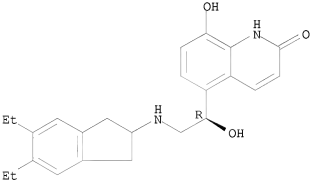
AB A medicament comprising, sep. or together, (A) a compound of formula (I) in free or salt or solvate form, where W, Rx, Ry, R1, R2, R3, R4, R5, R6 and R7 have the meanings as indicated in the specification, and (B) one or more of compds. selected from the group consisting of A2A agonists, A2B antagonists, antihistamines, caspase inhibitors, ENaC inhibitors, LTB4 antagonists, LTD4 antagonists and serine protease inhibitors, for simultaneous, sequential or sep. administration in the treatment of an inflammatory or obstructive airways disease.

IT 312753-06-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicament containing organic compds. for therapy of inflammatory or obstructive airways diseases)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 52 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 145:495604 CA

TITLE: Combination of a HMG-CoA reductase inhibitor and a drug intervening in the renin-angiotensin system for treating respiratory disorders

INVENTOR(S): Lindmark, Bertil; Thoren, Anders; Higenbottam, Timothy William

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 21pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006117534	A2	20061109	WO 2006-GB1582	20060428
WO 2006117534	A3	20070125		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2005-8924 A 20050430

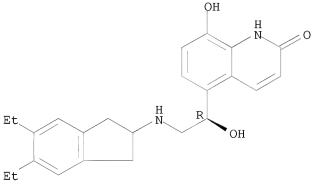
AB The invention provides medicaments comprising a combination of a HMG-CoA reductase inhibitor and a drug intervening in the renin-angiotensin system selected from angiotensin II antagonists and angiotensin converting enzyme (ACE) inhibitors optionally in combination with a bronchodilator and a glucocorticosteroid in the treatment of respiratory disorders such as chronic obstructive pulmonary disease (COPD).

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of a HMG-CoA reductase inhibitor and a drug intervening in the renin-angiotensin system for treating respiratory disorders)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.

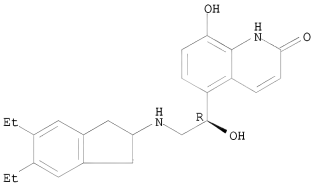


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 53 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 145:448369 CA
TITLE: Indacaterol(Novartis/SkyePharma)
AUTHOR(S): Currie, Graeme P.
CORPORATE SOURCE: Aberdeen Royal Infirmary, Aberdeen, AB25 2ZN, UK
SOURCE: Current Opinion in Investigational Drugs (Thomson Scientific) (2006), 7(5), 457-463
CODEN: COIDAZ; ISSN: 1472-4472
PUBLISHER: Thomson Scientific
DOCUMENT TYPE: Journal; General Review

LANGUAGE: English
AB A review. In collaboration with SkyePharma, Novartis is developing a multidose dry powder inhaler formulation of indacaterol, a long-acting β2 agonist and bronchodilator, for the potential treatment of asthma and chronic obstructive pulmonary disease. In Jan. 2006, Novartis expected phase III clin. trials to start in early 2006, with submission planned for 2007.
IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(multidose dry powder inhaler formulation of indacaterol, long-acting β2 adrenoceptor agonist and bronchodilator is currently being developed to treat asthma and chronic obstructive pulmonary disease patient)
RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



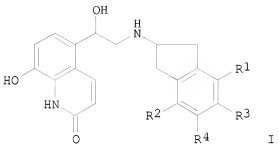
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 54 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 145:443938 CA
TITLE: Inhalation compositions containing anticholinergics and 2-indanylaminoethylquinolinones.
INVENTOR(S): Bouyssou, Thierry; Konetzki, Ingo; Pieper, Michael P.; Schnapp, Andreas
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 20pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20060239935	A1	20061026	US 2006-379713		20060421
PRIORITY APPLN. INFO.:			EP 2005-8957	A	20050423

OTHER SOURCE(S): MARPAT 145:443938

GI

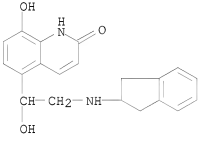


AB The present invention relates to new pharmaceutical compns. for inhalation containing one or more, preferably one anticholinergic in combination with one or more pharmacol. acceptable acid addition salts of I where R1-R4 may be H, alkyl, alkoxy, or alkoxyalkyl and their use in the treatment of respiratory complaints.

IT 312753-16-5D, derivs.
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhalation compns. containing anticholinergics and 2-indanylaminoethylquinolinones)

RN 312753-16-5 CA

CN 2(1H)-Quinolinone, 5-[2-[(2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)



L8 ANSWER 55 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	145:432242 CA
TITLE:	Treatment of connective tissue diseases of the skin with β2-adrenoceptor agonists
INVENTOR(S):	Weidner, Morten Sloth
PATENT ASSIGNEE(S):	Astion Development A/S, Den.
SOURCE:	PCT Int. Appl., 52pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2006108424 A2 20061019 WO 2006-DK50013 20060412
WO 2006108424 A3 20061214
WO 2006108424 A9 20070809
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
AU 2006233502 A1 20061019 AU 2006-233502 20060412
CA 2604758 A1 20061019 CA 2006-2604758 20060412
US 20060235048 A1 20061019 US 2006-402255 20060412
EP 1719507 A1 20061108 EP 2006-7632 20060412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU
JP 2008535873 T 20080904 JP 2008-505738 20060412
IN 2007DN07745 A 20071109 IN 2007-DN7745 20071009
MX 2007012794 A 20080222 MX 2007-12794 20071012
NO 2007005527 A 20080114 NO 2007-5527 20071102
KR 2008005957 A 20080115 KR 2007-726406 20071113
CN 101203214 A 20080618 CN 2006-80016534 20071113
PRIORITY APPLN. INFO.: DK 2005-529 A 20050413
WO 2006-DK50013 W 20060412
OTHER SOURCE(S): MARPAT 145:432242

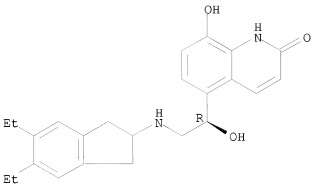
AB The present invention provides effective and safe medicaments for the treatment of connective tissue diseases of the skin, particularly with respect to the treatment of cutaneous forms of Lupus Erythematosus. The medicaments comprise as the therapeutically active ingredient a beta2 adrenoceptor agonist. The invention furthermore relates to dermatol. compns. without skin sensitization properties and which contain enantiomerically pure or enriched R-enantiomers of a beta2 adrenoceptor agonist.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of connective tissue diseases of skin with β2-adrenoceptor agonists)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 56 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 145:404168 CA
TITLE: Medicaments and methods combining an anticholinergic, a corticosteroid, and a long acting beta agonist
INVENTOR(S): Sequeira, Joel A.; Yang, Tsong-Toh
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006105401	A2	20061005	WO 2006-US11924	20060330
WO 2006105401	A3	20070621		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
CA 2603433	A1	20061005	CA 2006-2603433	20060330
EP 1879620	A2	20080123	EP 2006-740200	20060330
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008534611	T	20080828	JP 2008-504421	20060330
MX 2007012084	A	20071121	MX 2007-12084	20070928
PRIORITY APPLN. INFO.:			US 2005-666420P P	20050330
			US 2005-734452P P	20051108

US 2006-786960P P 20060329
WO 2006-US11924 W 20060330

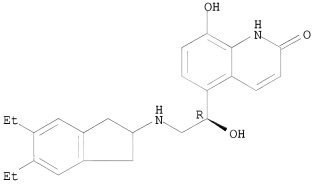
AB Disclosed are inhalable medicaments and methods based on an anticholinergic in combination with a corticosteroid, and a long acting beta agonist, for simultaneous or sequential administration in the prevention or treatment of a respiratory, inflammatory or obstructive airway disease. In addition, disclosed are inhalable medicaments and methods based on combinations of an anticholinergic and a corticosteroid; an anticholinergic and a long acting beta agonist; or a corticosteroid and a long acting beta agonist, for simultaneous or sequential administration in the prevention or treatment of a respiratory, inflammatory or obstructive airway disease. Also disclosed are inhalable medicaments and methods comprising a phosphodiesterase IV inhibitor for administration in the prevention or treatment of a respiratory, inflammatory or obstructive airway disease.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicaments combining anticholinergic, corticosteroid, and long-acting β-agonist)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 57 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 145:368973 CA

TITLE: Indacaterol: asthma therapy treatment of COPD β2-adrenoceptor agonist

AUTHOR(S): Davies, S. L.; Castaner, J.

CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain

SOURCE: Drugs of the Future (2005), 30(12), 1219-1224
CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. The chronic inflammatory syndromes asthma and chronic obstructive pulmonary disease (COPD) are significant causes of morbidity, mortality, increased healthcare costs and hospital admissions. β2-Adrenoceptor agonists are among the first-line therapies for

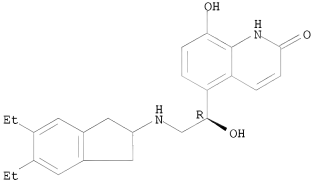
asthma and COPD due to their bronchodilating effects, but currently available therapeutics are associated with a short duration of action and a broad side effect profile. Indacaterol (QAB-149) is currently undergoing phase II development for the treatment of asthma and COPD. Clin. studies have demonstrated that it is well tolerated and associated with improved cardiovascular safety in both patient populations. Furthermore, it is the first β2-adrenoceptor agonist to provide rapid improvements in bronchodilatory control and FEV1, with a sustained (24 h) duration of action. Indacaterol could therefore provide substantial improvement in the life-threatening symptoms of breathlessness and bronchoconstriction associated with asthma and COPD.

IT 312753-06-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(QAB-149 rapidly improved bronchodilatory control, FEV1 with sustained duration of action showing it can provide improvement in life-threatening symptoms of breathlessness and bronchoconstriction associated with asthma, COPD in patient)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 58 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 144:444937 CA

TITLE: In vitro and in vivo pharmacological characterization of 5-[(R)-2-(5,6-diethyl-indan-2-ylamino)-1-hydroxy-ethyl]-8-hydroxy-1H-quinolin-2-one (indacaterol), a novel inhaled β2 adrenoceptor agonist with a 24-h duration of action

AUTHOR(S): Battram, Cliff; Charlton, Steven J.; Cuenoud, Bernard; Dowling, Mark R.; Fairhurst, Robin A.; Farr, David; Fozard, John R.; Leighton-Davies, Juliet R.; Lewis, Christine A.; McEvoy, Lorraine; Turner, Robert J.; Trifilieff, Alexandre

CORPORATE SOURCE: Novartis Institutes for BioMedical Research, Horsham, UK

SOURCE: Journal of Pharmacology and Experimental Therapeutics (2006), 317(2), 762-770
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

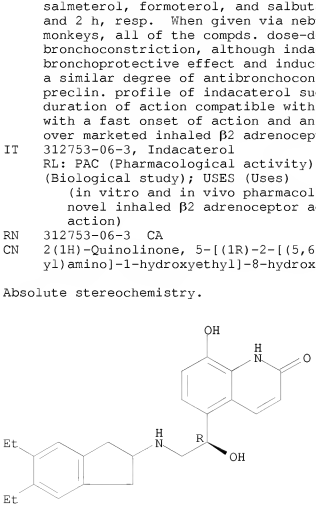
AB Here, we describe the preclin. pharmacol. profile of indacaterol, a novel, chirally pure inhaled β2 adrenoceptor agonist, in comparison with marketed drugs. Indacaterol is close to a full agonist at the human β2 adrenoceptor (Emax = 73±1% of the maximal effect of isoprenaline; pEC50 = 8.06±0.02), whereas salmeterol displays only partial efficacy (38±1%). The functional selectivity profile of indacaterol over β1 human adrenoceptors is similar to that of formoterol, whereas its β3 adrenoceptor selectivity profile is similar to that of formoterol and salbutamol. In isolated superfused guinea pig trachea, indacaterol has a fast onset of action (30±4 min) similar to formoterol and salbutamol, and a long duration of action (529±99 min) comparable with salmeterol. In the conscious guinea pig, when given intratracheally as a dry powder, indacaterol inhibits 5-hydroxytryptamine-induced bronchoconstriction for at least 24 h, whereas salmeterol, formoterol, and salbutamol have durations of action of 12, 4, and 2 h, resp. When given via nebulization to anesthetized rhesus monkeys, all of the compds. dose-dependently inhibit methacholine-induced bronchoconstriction, although indacaterol produces the most prolonged bronchoprotective effect and induces the lowest increase in heart rate for a similar degree of antibronchoconstrictor activity. In conclusion, the preclin. profile of indacaterol suggests that this compound has a superior duration of action compatible with once-daily dosing in human, together with a fast onset of action and an improved cardiovascular safety profile over marketed inhaled β2 adrenoceptor agonists.

IT 312753-06-3, Indacaterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro and in vivo pharmacol. characterization of indacaterol, a novel inhaled β2 adrenoceptor agonist with a 24-h duration of action)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 59 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 144:239931 CA
TITLE: Pharmaceutical compositions for the treatment of respiratory and gastrointestinal disorders
INVENTOR(S): Jung, Birgit; Himmelsbach, Frank
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma Gmbh & Co. KG
SOURCE: PCT Int. Appl., 321 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006015775	A2	20060216	WO 2005-EP8385	20050803
WO 2006015775	A3	20070518		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20060035893	A1	20060216	US 2005-189643	20050726
CA 2575541	A1	20060216	CA 2005-2575541	20050803
EP 1784224	A2	20070516	EP 2005-773706	20050803
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008509177	T	20080327	JP 2007-525227	20050803
US 20090017036	A1	20090115	US 2008-202784	20080902

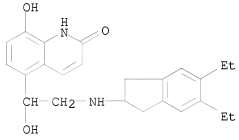
PRIORITY APPLN. INFO.:
EP 2004-18808 A 20040807
US 2005-189643 A1 20050726
WO 2005-EP8385 W 20050803

OTHER SOURCE(S): MARPAT 144:239931

AB The present invention relates to novel pharmaceutical compns. comprising at least 1 EGFR kinase inhibitor and at least one addnl. active compound selected from β-2 mimetics, steroids, PDE-IV inhibitors, p38 MAP kinase inhibitors, NK1 antagonists and endothelin-antagonists, processes for preparing the compns. and the use thereof as drugs in the treatment of respiratory or gastrointestinal complaints, as well as inflammatory diseases of the joints, the skin or the eyes. Thus, an inhalable powder contained an EGFR kinase inhibitor 150, formoterol fumarate dihydrate 50, and lactose 12,300 mg/capsule.

IT 312753-33-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. for treatment of respiratory and gastrointestinal disorders)
RN 312753-33-6 CA
CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

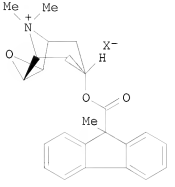


L8 ANSWER 60 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 144:239926 CA
TITLE: Inhalable medicaments containing a new anticholinergic, corticosteroids, and betamimetics
INVENTOR(S): Pieper, Michael P.; Pairet, Michel
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 15 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060034776	A1	20060216	US 2005-182382	20050715
DE 102004038886	A1	20060223	DE 2004-102004038886	20040810
DE 102004053023	A1	20060504	DE 2004-102004053023	20041103
CA 2573370	A1	20060223	CA 2005-2573370	20050804
WO 2006018391	A1	20060223	WO 2005-EP53840	20050804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1778227	A1	20070502	EP 2005-771986	20050804
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008509196	T	20080327	JP 2007-525289	20050804

PRIORITY APPLN. INFO.: DE 2004-102004038886A 20040810
DE 2004-102004053023A 20041103

GI



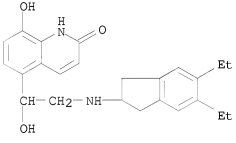
I

AB A pharmaceutical formulation comprising: (a) at least one anticholinergic (I, wherein X- is an anion with a single neg. charge); (b) at least one corticosteroid (2); and (c) at least one betamimetic (3), and the enantiomers, mixts. of the enantiomers, racemates, solvates, hydrates, or physiol. acceptable acid addition salts thereof, processes for preparing them and their use in the treatment of respiratory diseases. An inhalable aerosol composition contained I (X = Br), budesonide, formoterol fumarate dihydrate, soya lecithin, and TG134a/TG227 (propellant).

IT 312753-33-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhalable medicaments containing an anticholinergic, corticosteroid, and betamimetic)

RN 312753-33-6 CA

CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)



L8 ANSWER 61 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 144:156734 CA

TITLE: Salts of basic drugs with acidic polymeric sugars for inhalant formulations

INVENTOR(S): Anson, Michael Simon; Crookes, Derek Leslie; Trivedi, Harish Shivprasad

PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008173	A2	20060126	WO 2005-EP7991	20050720
WO 2006008173	A3	20060526		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

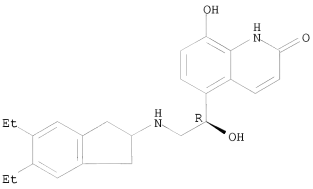
PRIORITY APPLN. INFO.: GB 2004-16397 A 20040722
OTHER SOURCE(S): MARPAT 144:156734

AB The present invention relates to salts of biodegradable polymeric sugars comprising acidic groups and a pharmaceutically active agent comprising one or more basic groups, i.e., β2-adrenoceptor agonists, anti-inflammatory agents, anticholinergics, anti-infective agents and antihistamines, and to pharmaceutical formulations of said salts adapted for administration by inhalation. For example, salmeterol hyaluronate was prepared by reacting salmeterol free base (317.5 g) dissolved in IMS with hyaluronic acid (125.19 g) at 30° for 18 h give 189.9 g of polymeric salt. A dry powder was prepared by blending micronized salmeterol hyaluronate (particle size 5 μm) with lactose monohydrate (0.294% salmeterol hyaluronate, 99.706 lactose monohydrate). The salmeterol hyaluronate/lactose monohydrate blend was stable with no apparent agglomeration after 1 mo storage at 40°/75% relative humidity. Salmeterol xinafoate/lactose blend (used for comparison) after storage at 40°/75% relative humidity was highly agglomerated and could not be tested.

IT 312753-06-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepns. and stability of salts of basic drugs with acidic polymeric sugars for inhalant formulations)

RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

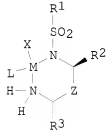
L8 ANSWER 62 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 144:88180 CA
TITLE: Method for preparing 8-substituted oxy-5-((R)-2-halo-1-hydroxy-ethyl)-(1H)-quinolin-2-ones employing a chiral reduction step
INVENTOR(S): Lohse, Olivier; Vogel, Caspar; Abel, Stephan
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123684	A2	20051229	WO 2005-EP6686	20050621
WO 2005123684	A3	20060601		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005254698	A1	20051229	AU 2005-254698	20050621
AU 2005254698	B2	20080925		
CA 2566388	A1	20051229	CA 2005-2566388	20050621
CN 1968927	A	20070523	CN 2005-80019589	20050621
EP 1791820	A2	20070606	EP 2005-770221	20050621
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				

JP 2008503526	T	20080207	JP 2007-517180		20050621
BR 2005012298	A	20080325	BR 2005-12298		20050621
IN 2006DN06563	A	20070831	IN 2006-DN6563		20061106
ZA 2006009257	A	20080730	ZA 2006-9257		20061107
MX 2006014695	A	20070212	MX 2006-14695		20061214
KR 2007029752	A	20070314	KR 2006-726958		20061221
NO 2007000400	A	20070321	NO 2007-400		20070122
US 20090054653	A1	20090226	US 2008-569140		20080813
PRIORITY APPLN. INFO.:			GB 2004-13960	A	20040622
			WO 2005-EP6686	W	20050621

OTHER SOURCE(S): CASREACT 144:88180; MARPAT 144:88180

GI



I

AB A process for preparing 8-substituted oxy-5-((R)-2-halo-1-hydroxy-ethyl)-(1 H)-quinolin-2-ones or acceptable solvates thereof which are useful intermediates from which to prepare 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-(1H)-quinolin-2-one salts. The process involves reacting a 5-(α-haloacetyl)-8-substituted oxy-(1H)-quinolin-2-one with a reducing agent in the presence of a chiral agent and a base to form a 8-(substituted oxy)-5-((R)-2-halo-1-hydroxy-ethyl)-(1H)-quinolin-2-one, said chiral agent having a formula I [wherein M = Ru, Rh, Ir, Fe, Co, or Ni; L = aryl or arylalkyl; X = H or halo; R1 = alkyl, cycloalkyl, aryl, etc.; R2 and R3 = Ph or together form a cyclohexane or cyclopentane ring; Z = bond or 1,1'-ferrocenediyl].

IT 435273-74-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(method for producing and manufacturing 8-substituted oxy-5-((R)-2-halo-1-hydroxy-ethyl)-(1 H)-quinolin-2-ones employing a chiral reducing agent for ketone reduction step)

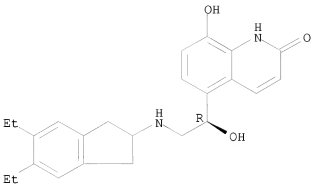
RN 435273-74-8 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:?) (CA INDEX NAME)

CM 1

CRN 312753-06-3
CMF C24 H28 N2 O3

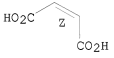
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 63 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 144:27574 CA
TITLE: Combinations comprising antimuscarinic agents and β-adrenergic agonists
INVENTOR(S): Gras Escardo, Jordi; Calvo, Jesus Llenas; Ryder, Hamish; Orviz Diaz, Pio
PATENT ASSIGNEE(S): Spain
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050267078	A1	20051201	US 2005-141428	20050531
ES 2257152	A1	20060716	ES 2004-1312	20040531
ES 2257152	B1	20070701		
IT 2005MI1021	A1	20050831	IT 2005-MI1021	20050531
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PRIORITY APPLN. INFO.:

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EP	2005-746222	A3	20050531
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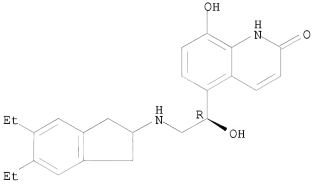
WO	2005-EP5836	W	20050531
WO	2005-EP5840	W	20050531
WO	2005-EP5841	W	20050531
US	2006-375308	B1	20060314
US	2006-405888	B1	20060418
US	2006-409157	A1	20060421
US	2007-726982	B1	20070323

AB Combinations comprising (a) a β2-agonist and (b) an antagonist of M3 muscarinic receptors which is 3(R)-(2-hydroxy-2,2-dithien-2-ylacetoxy)-1-(3-phenoxypropyl)-1-azoniabicyclo[2.2.2]octane, in the form of a salt having an anion X, which is a pharmaceutically acceptable anion of a mono or polyvalent acid are useful, e.g., for the treatment of respiratory disease, e.g., asthma or chronic obstructive pulmonary disease.

IT 312753-06-3
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical

process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(antiasthmatic combinations comprising antimuscarinic agents and β-adrenergic agonists)
RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 64 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 144:17179 CA
TITLE: Muscarinic M3 antagonist combination with β-adrenergic agonists, and use for treatment of respiratory conditions
INVENTOR(S): Gras Escardo, Jordi; Llenas Calvo, Jesus; Ryder, Hamish; Orviz Diaz, Pio
PATENT ASSIGNEE(S): Almirall Prodesfarma S. A., Spain
SOURCE: Fr. Demande, 45 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2870744	A1	20051202	FR 2005-5473	20050531
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MX	2006013848	A	20070301	MX 2006-13848	20061128
IN	2006DN07189	A	20070824	IN 2006-DN7189	20061129
IN	2006DN07190	A	20070824	IN 2006-DN7190	20061129
ZA	2006009986	A	20071128	ZA 2006-9986	20061129
ZA	2006009989	A	20071128	ZA 2006-9989	20061129
ZA	2006009987	A	20071227	ZA 2006-9987	20061129
ZA	2006009990	A	20071227	ZA 2006-9990	20061129
ZA	2006009985	A	20080925	ZA 2006-9985	20061129
KR	2007017543	A	20070212	KR 2006-725296	20061130
KR	2007018105	A	20070213	KR 2006-725298	20061130
KR	2007024556	A	20070302	KR 2006-725295	20061130
IN	2006DN07293	A	20070427	IN 2006-DN7293	20061204
US	20070167489	A1	20070719	US 2007-726982	20070323
HK	1095757	A1	20090313	HK 2007-103198	20070326
US	20090099148	A1	20090416	US 2008-335849	20081216
US	20090111785	A1	20090430	US 2008-339263	20081219

PRIORITY APPLN. INFO.:

ES 2004-1312	A	20040531
WO 2005-EP1969	A	20050224
WO 2005-GB722	A	20050225
WO 2005-GB740	A	20050225
EP 2005-746222	A3	20050531
EP 2005-747758	A3	20050531
EP 2005-748688	A3	20050531
EP 2005-750538	A3	20050531
EP 2005-751702	A3	20050531
EP 2005-759006	A3	20050531
US 2005-141169	B1	20050531
US 2005-141427	B1	20050531
WO 2005-EP5836	W	20050531
WO 2005-EP5840	W	20050531
WO 2005-EP5841	W	20050531
US 2006-375308	B1	20060314
US 2006-405888	B1	20060418
US 2007-726982	B1	20070323

OTHER SOURCE(S): MARPAT 144:17179

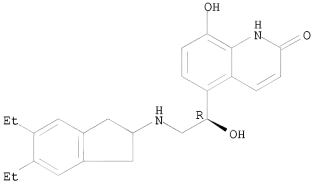
AB The invention discloses a combination, a product, a kit of parts, and a packaging including (a) a β2-agonist and (b) a muscarinic M3 receptor antagonist [e.g. 3(R)-(2-hydroxy-2,2-dithien-2-ylacetoxy)-1-(3-phenoxypropyl)-1-azoniabicyclo[2.2.2]-octane], in the form of a salt having an anion X which is a pharmaceutically acceptable anion of a mono- or polyfunctional acid, their use and a process of treatment of a patient having, or susceptible to, a respiratory disease.

IT 312753-06-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(muscarinic M3 antagonist combination with β-adrenergic agonists for treatment of respiratory conditions)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 65 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 144:11584 CA
TITLE: Combinations of glycopyrrolate and β-2 adrenoceptor agonists in the treatment of an inflammatory or obstructive airways disease
INVENTOR(S): Collingwood, Stephen Paul
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005110402	A1	20051124	WO 2005-EP5354	20050517
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005244439	A1	20051124	AU 2005-244439	20050517
CA 2563302	A1	20051124	CA 2005-2563302	20050517
EP 1755590	A1	20070228	EP 2005-749635	20050517
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1953745	A	20070425	CN 2005-80015932	20050517
BR 2005011327	A	20071204	BR 2005-11327	20050517
JP 2007538036	T	20071227	JP 2007-517074	20050517

ZA 2006008123	A	20080730	ZA 2006-8123		20060929
KR 2007011519	A	20070124	KR 2006-724115		20061117
MX 2006013382	A	20070323	MX 2006-13382		20061117
IN 2006CN04247	A	20070706	IN 2006-CN4247		20061117
NO 2006005787	A	20061214	NO 2006-5787		20061214
US 20080267886	A1	20081030	US 2008-568559		20080707
PRIORITY APPLN. INFO.:			GB 2004-11056	A	20040518
			WO 2005-EP5354	W	20050517

OTHER SOURCE(S): MARPAT 144:11584

AB A medicament comprises, sep. or together (A) glycopyrrolate; and (B) and a β-2 adrenoceptor agonist for simultaneous, sequential or sep. administration in the treatment of an inflammatory or obstructive airways disease. Pharmaceutical compns. such dry powder inhalers that contain glycopyrrolate and maleate are described.

IT 753498-25-8
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of glycopyrrolate and β-2 adrenoceptor agonists in the treatment of an inflammatory or obstructive airways disease)

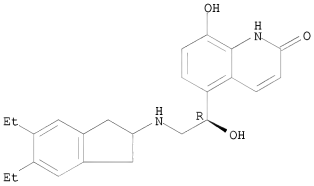
RN 753498-25-8 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 312753-06-3
CMF C24 H28 N2 O3

Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

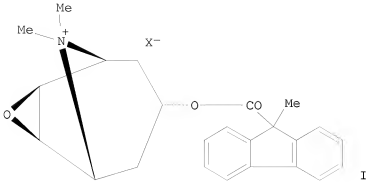
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 66 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:225794 CA
TITLE: Medicaments for inhalation comprising betamimetics and an anticholinergic agent
INVENTOR(S): Germeyer, Sabine; Meade, Christopher John Montague; Meissner, Helmut; Morschhaeuser, Gerd; Pairet, Michel; Pestel, Sabine; Pieper, Michael P.; Pohl, Gerald; Reichl, Richard; Speck, Georg; Konetzki, Ingo
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013992	A1	20050217	WO 2004-EP7997	20040717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050107417	A1	20050519	US 2004-891787	20040715
CA 2533791	A1	20050217	CA 2004-2533791	20040717
EP 1651221	A1	20060503	EP 2004-741115	20040717
EP 1651221	B1	20090114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007500146	T	20070111	JP 2006-521451	20040717
AT 420641	T	20090115	AT 2004-741115	20040717
ES 2316996	T3	20090416	ES 2004-741115	20040717

PRIORITY APPLN. INFO.:
EP 2003-17036 A 20030728
US 2003-508164P P 20031002
WO 2004-EP7997 W 20040717

OTHER SOURCE(S): MARPAT 142:225794
GI



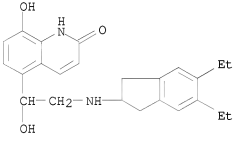
I

AB The present invention relates to novel pharmaceutical compns. based on beta2 agonists and salts of a new anticholinergic, processes for preparing them and their use in the treatment of respiratory complaints, wherein the anticholinergic agent has the formula I. Scopine 9-methyl-fluorene-9-carboxylate methobromide (II) was prepared by the reaction of scopine 9-methyl-fluorene-9-carboxylate with 50% Me bromide solution in acetonitrile. The crystals precipitated were separated off and recrystd. from di-Et ether to purify them, yield = 70%, m.p. = 214°. Inhalant powders contained II 50, fomoterol fumarate dihydrate 12, and lactose 12408 μg per capsule.

IT 312753-33-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicaments for inhalation comprising betamimetics and anticholinergic agent)

RN 312753-33-6 CA

CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 67 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:183470 CA
TITLE: Medicaments for inhalation comprising an anticholinergic and a betamimetic
INVENTOR(S): Meade, Christopher John Montague; Pairet, Michel; Pieper, Michael P.
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany

SOURCE: U.S. Pat. Appl. Publ., 15 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050026948	A1	20050203	US 2004-891552	20040715
AU 2004262902	A1	20050217	AU 2004-262902	20040717
CA 2534132	A1	20050217	CA 2004-2534132	20040717
WO 2005014044	A1	20050217	WO 2004-EP8030	20040717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1651270	A1	20060503	EP 2004-741130	20040717
EP 1651270	B1	20070321		
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CN 1829534	A	20060906	CN 2004-80022092	20040717
BR 2004013129	A	20061003	BR 2004-13129	20040717
JP 2007500151	T	20070111	JP 2006-521461	20040717
AT 357258	T	20070415	AT 2004-741130	20040717
EP 1803469	A2	20070704	EP 2006-122278	20040717
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
ES 2284025	T3	20071101	ES 2004-741130	20040717
MX 2006001047	A	20060424	MX 2006-1047	20060126
KR 2006052911	A	20060519	KR 2006-701861	20060126

PRIORITY APPLN. INFO.:	EP 2003-17163	A	20030729
	US 2003-507982P	P	20031002
	EP 2004-741130	A3	20040717
	WO 2004-EP8030	W	20040717

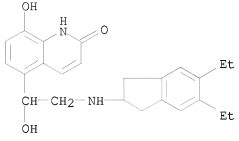
OTHER SOURCE(S): MARPAT 142:183470

AB Disclosed is a pharmaceutical composition comprising 3-[(hydroxydi-2-thienylacetyl)oxy]-1-(3-phenoxypropyl)-1-azoniabicyclo[2.2.2]octane salts with a single neg. charge, and a betamimetic, optionally together with a pharmaceutically acceptable excipient, for the treatment of respiratory tract diseases. For example, inhalable powders in a capsule contained 3-[(hydroxydi-2-thienylacetyl)oxy]-1-(3-phenoxypropyl)-1-azoniabicyclo[2.2.2]octane bromide 150, formoterol fumarate dihydrate 50, and lactose 12,300 μg.

IT 312753-33-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicaments for inhalation comprising anticholinergics and betamimetics)

RN 312753-33-6 CA

CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)



L8 ANSWER 68 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:350042 CA
TITLE: Preparation of quinoline-2-one derivatives for the treatment of airways diseases
INVENTOR(S): Fairhurst, Robin Alec; Sandham, David Andrew; Beattie, David; Bruce, Ian; Cuenoud, Bernard; Madden, Reamonn; Press, Neil John; Taylor, Roger John; Turner, Katharine Louise; Watson, Simon James
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087142	A1	20041014	WO 2004-EP3516	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004226824	A1	20041014	AU 2004-226824	20040402
AU 2004226824	B2	20080501		
CA 2521271	A1	20041014	CA 2004-2521271	20040402
EP 1613315	A1	20060111	EP 2004-725360	20040402
EP 1613315	B1	20090121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004009198	A	20060502	BR 2004-9198	20040402
CN 1798559	A	20060705	CN 2004-80015230	20040402
JP 2006523190	T	20061012	JP 2006-504969	20040402
AT 421322	T	20090215	AT 2004-725360	20040402

ES 2320994	T3	20090601	ES 2004-725360		20040402
MX 2005010712	A	20051215	MX 2005-10712		20051004
IN 2005CN02529	A	20070914	IN 2005-CN2529		20051004
US 20070066607	A1	20070322	US 2006-552023		20060727
PRIORITY APPLN. INFO.:			GB 2003-7856	A	20030404
			GB 2003-11462	A	20030519
			GB 2003-13489	A	20030611
			GB 2003-16656	A	20030716
			GB 2003-16657	A	20030716
			WO 2004-EP3516	W	20040402

OTHER SOURCE(S): MARPAT 141:350042

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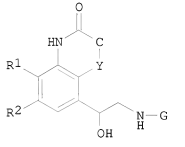

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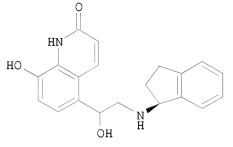


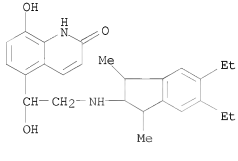
II

AB Title compds. represented by the formula I [wherein C-Y = CH2CH2, CH:CH, CH2O; R1, R2 = H, OH and R1 ≠ R2; G = (un)substituted cyclopentyl(alkyl), indanyl(alkyl), benzofuranyl(alkyl), etc.; in free or salt or solvate form] were prepared For example, reaction of (R)-1-aminoindane with (R)-8-benzyloxy-5-oxiranyl-1H-quinolin-2-one, followed by hydrogenation, gave II. I and their pharmaceutical compns. are useful for the treatment of a condition which is prevented or alleviated by activation of the β2-adrenoreceptor, or the treatment of an obstructive or inflammatory airways disease (no data).

IT 1055985-89-1
RL: PRPH (Prophetic)
(Preparation of quinoline-2-one derivatives for the treatment of airways diseases)

RN 1055985-89-1 CA

CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1,3-dimethyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS

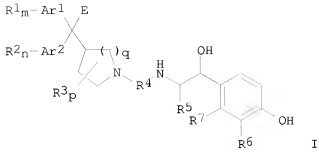
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 69 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:350030 CA
TITLE: Preparation of (diphenyl)(pyrrolidinyl)methyl amides as β2 adrenergic receptor agonist and muscarinic receptor antagonist
INVENTOR(S): Mammen, Mathai; Hughes, Adam
PATENT ASSIGNEE(S): Theravance, Inc., USA
SOURCE: PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089892	A2	20041021	WO 2004-US9825	20040331
WO 2004089892	A3	20041209		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1615881	A2	20060118	EP 2004-758642	20040331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006522134	T	20060928	JP 2006-509509	20040331
US 20060287369	A1	20061221	US 2004-813745	20040331
US 7317102	B2	20080108		
US 20080114030	A1	20080515	US 2007-983963	20071113

PRIORITY APPLN. INFO.: US 2003-459291P P 20030401
US 2004-813745 A3 20040331
WO 2004-US9825 W 20040331

OTHER SOURCE(S): MARPAT 141:350030
GI



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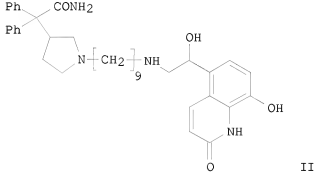


II

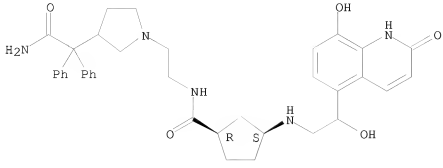
AB Title compds. represented by the formula I [wherein Ar1, Ar2 = independently Ph, (cyclo)alkyl, (un)substituted heteroaryl, heterocyclyl; m = 0-3; n = 0-3; R1-R3 = independently (cyclo)alkyl, alkenyl, alkynyl, cyano, etc.; E = CN, OH, carbonylamino, carboxylate; p = 0-4; R4 = a divalent; R5 = H or alkyl; R6 = carbamoyl or alkoxyalkyl; R7 = H or R6R7 = (un)substituted (hetero)cyclyl; q = 1-2; and pharmaceutically acceptable salts, solvates or stereoisomers thereof] were prepared as β2 adrenergic receptor agonist and muscarinic receptor antagonist. For example, II was given in a multi-step synthesis starting from the reaction of (S)-1-benzyl-3-pyrrolidinol with p-toluenesulfonyl chloride. II was tested for radioligand binding at human β1, β2 and β3 adrenergic receptors with a ration of Ki(β1)/Ki(β2) greater than 8, and with Ki values of less than 50 nM at human muscarinic receptors, etc. Thus, I and their pharmaceutical compns. are useful as β2 adrenergic receptor agonist and muscarinic receptor antagonist for the treatment of pulmonary disorders, such as chronic obstructive pulmonary disease and asthma.

IT 777064-28-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (diphenyl)(pyrrolidinyl)methyl amides as β2 adrenergic receptor agonist and muscarinic receptor antagonist)

RN 777064-28-5 CA

CN 3-Pyrrolidineacetamide, 1-[2-[[[(1R,3S)-3-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]cyclopentyl]carbonyl]amino]ethyl]-α,α-diphenyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 70 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:332069 CA
TITLE: Process for preparation of 5-(haloacetyl)-8-hydroxy-(1H)-quinolin-2-one derivatives
INVENTOR(S): Lohse, Olivier; Penn, Gerhard; Schilling, Hanspeter
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087668	A1	20041014	WO 2004-EP3479	20040401
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004226212	A1	20041014	AU 2004-226212	20040401
AU 2004226212	B2	20080221		
CA 2520990	A1	20041014	CA 2004-2520990	20040401
EP 1613599	A1	20060111	EP 2004-725035	20040401
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BR 2004009154	A	20060328	BR 2004-9154	20040401
CN 1774423	A	20060517	CN 2004-80008956	20040401
JP 2006522055	T	20060928	JP 2006-504953	20040401
NZ 542623	A	20080731	NZ 2004-542623	20040401
RU 2339621	C2	20081127	RU 2005-133664	20040401
ZA 2005007603	A	20061129	ZA 2005-7603	20050920
IN 2005CN02474	A	20070831	IN 2005-CN2474	20050930

NO 2005005099	A	20060102	NO 2005-5099		20051101
US 20060189653	A1	20060824	US 2005-550621		20051103
IN 2008CN04678	A	20090313	IN 2008-CN4678		20080904
PRIORITY APPLN. INFO.:			US 2003-459724P	P	20030402
			WO 2004-EP3479	W	20040401
			IN 2005-CN2474	A3	20050930

OTHER SOURCE(S): MARPAT 141:332069

AB This invention pertains to a method for producing 5-(α-haloacetyl)-8-hydroxy-(1H)-quinolin-2-one derivs. The process involves (i) reacting 8-hydroxy-(1H)-quinolin-2-one with an acylating agent and a Lewis acid to form 5-acetyl-8-hydroxy-(1H)-quinolin-2-one; (ii) reacting 5-acetyl-8-hydroxy-(1H)-quinolin-2-one with a compound RL [wherein R is a protecting group and L is a leaving group] in the presence of a base to form 5-acetyl-8-(substituted oxy)-(1H)-quinolin-2-one; and (iii) reacting 5-acetyl-8-(substituted oxy)-(1H)-quinolin-2-one with a halogenating agent to form 5-(α-haloacetyl)-8-(substituted oxy)-(1H)-quinolin-2-one. For example, 8-hydroxy-(1H)-quinolin-2-one was reacted with Ac2O in 1,2-dichlorobenzene in the presence of AlCl3 to give 5-acetyl-8-hydroxy-(1H)-quinolin-2-one (82.0%). The above compound was reacted with PhCH2Br in acetone in the presence of diisopropylethylamine to afford 5-acetyl-8-benzyloxy-(1H)-quinolin-2-one (91.7%). The quinolinone obtained was treated with benzyltrimethylammonium dichloroiodate in AcOH to provide 5-(α-chloroacetyl)-8-benzyloxy-(1H)-quinolin-2-one.

IT 753498-25-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 5-(haloacetyl)-8-hydroxy-(1H)-quinolin-2-one derivs.)

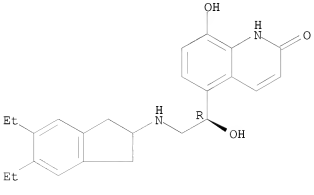
RN 753498-25-8 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 312753-06-3
CMF C24 H28 N2 O3

Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

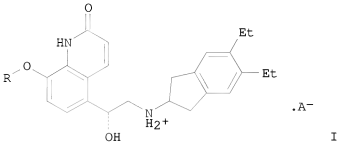
L8 ANSWER 71 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:260556 CA
TITLE: Process for preparing 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-(1H)-quinolin-2-one salt useful as an adrenoceptor agonist
INVENTOR(S): Lohse, Olivier; Vogel, Caspar
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076422	A1	20040910	WO 2004-EP1981	20040227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004215647	A1	20040910	AU 2004-215647	20040227
AU 2004215647	B2	20061221		
CA 2517033	A1	20040910	CA 2004-2517033	20040227
EP 1599450	A1	20051130	EP 2004-715306	20040227
EP 1599450	B1	20090624		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007904	A	20060214	BR 2004-7904	20040227
CN 1753874	A	20060329	CN 2004-80005416	20040227
CN 100363349	C	20080123		
JP 2006519206	T	20060824	JP 2006-501972	20040227
NZ 541727	A	20080731	NZ 2004-541727	20040227
RU 2332405	C2	20080827	RU 2005-129547	20040227
ZA 2005006060	A	20060726	ZA 2005-6060	20050728
US 20060252794	A1	20061109	US 2005-546941	20050825
US 7534890	B2	20090519		

IN 2005CN02065	A	20070831	IN 2005-CN2065		20050826
NO 2005004452	A	20051128	NO 2005-4452		20050926
PRIORITY APPLN. INFO.:			US 2003-450945P	P	20030228
			WO 2004-EP1981	A	20040227

OTHER SOURCE(S): CASREACT 141:260556; MARPAT 141:260556

GI



I

AB A process for preparing 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-(1H)-quinolin-2-one (I) salt. The process involves forming an acid salt of 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-substituted oxy-(1H)-quinolin-2-one (II; R = a protecting group; A- = an anion) and converting the acid salt to a salt of I, i.e. II (R = H), without isolating the free base of I. Thus, 30.89 g 2-amino-5,6-diethylindan was dissolved in diethylene glycol di-Me ether, treated with 36.4 g 8-phenylmethoxy-5-(R)-oxiranyl-1H-quinolin-2-one, stirred at 110° for 15 h, cooled to 70°, treated with 210 mL EtOH and then with a solution of a solution of 30.3 g benzoic acid in 140 mL ethanol, cooled to 45-50°, seeded, cooled to 0-5°, and filtered to give, after recrystn. from EtOH, 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-phenylmethoxy-(1H)-quinolin-2-one benzoate (III). III (40 g) was hydrogenated over 5% Pd on charcoal (5.44 g) in 400 mL AcOH for 2-8 h, filtered over a pad of filter aid, concentrated at 50-60° under vacuum (100 mbar) to a volume of 70-90 mL, treated with 400 mL EtOH, heated to 50-60°, treated with a solution of 11.6 g maleic acid in 24 mL EtOH, seeded at 50° with a suspension of 350 mg micronized I in 20 mL isopropanol, and allowed to crystallize by slow cooling to 0-5°, and filtered, followed by washing with 50 EtOH and 25 mL isopropanol and recrystn. from 1.36 L EtOH, 24.3 g I maleate as a white crystalline powder.

IT 753498-41-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparing 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-(1H)-quinolin-2-one salt as adrenoceptor agonist)

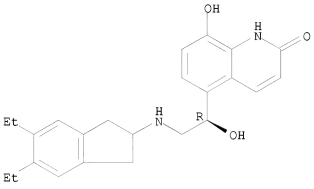
RN 753498-41-8 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, benzoate (1:1) (CA INDEX NAME)

CM 1

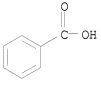
CRN 312753-06-3
CMF C24 H28 N2 O3

Absolute stereochemistry.



CM 2

CRN 65-85-0
CMF C7 H6 O2



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 72 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:225161 CA
TITLE: Preparation of biphenyl derivatives as β2-adrenergic agonists and muscarinic antagonists for pulmonary disorders.
INVENTOR(S): Mammen, Mathai; Dunham, Sarah; Hughes, Adam; Lee, Tae Weon; Husfeld, Cralg; Stangeland, Eric
PATENT ASSIGNEE(S): Theravance, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 85 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040167167	A1	20040826	US 2004-779157	20040213
US 7141671	B2	20061128		
AU 2004213411	A1	20040902	AU 2004-213411	20040213
CA 2515777	A1	20040902	CA 2004-2515777	20040213
WO 2004074276	A1	20040902	WO 2004-US4224	20040213

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2004074812 A2 20040902 WO 2004-US4273 20040213
WO 2004074812 A3 20041104

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
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WO 2004074246 A2 20040902 WO 2004-US4449 20040213
WO 2004074246 A3 20041118

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US 20040209915 A1 20041021 US 2004-778290 20040213
US 20040209860 A1 20041021 US 2004-778649 20040213
EP 1592685 A1 20051109 EP 2004-711137 20040213
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EP 1594860 A2 20051116 EP 2004-711117 20040213
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BR 2004007508 A 20060214 BR 2004-7508 20040213
CN 1759108 A 20060412 CN 2004-80006528 20040213
CN 100378092 C 20080402
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CN 101239971 A 20080813 CN 2008-10074160 20040213
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ZA 2005006215 A 20060628 ZA 2005-6215 20050803
NO 2005004206 A 20051019 NO 2005-4206 20050909
US 20060223858 A1 20061005 US 2006-448293 20060607
US 7345175 B2 20080318
US 20060223859 A1 20061005 US 2006-448294 20060607

US 7355046	B2	20080408			
US 20060223860	A1	20061005	US 2006-448317		20060607
US 20060229334	A1	20061012	US 2006-449004		20060607
US 7521561	B2	20090421			
US 20070037984	A1	20070215	US 2006-582885		20061018
US 7524959	B2	20090428			
US 20070088054	A1	20070419	US 2006-604607		20061127
US 7514558	B2	20090407			
JP 2007119496	A	20070517	JP 2007-31325		20070209
US 20070208176	A1	20070906	US 2007-788343		20070419
US 20070276003	A1	20071129	US 2007-879004		20070713
US 20080015220	A1	20080117	US 2007-888526		20070801
US 7507751	B2	20090324			
IN 2008DN05591	A	20080926	IN 2008-DN5591		20080627
IN 2008DN09343	A	20090619	IN 2008-DN9343		20081107
PRIORITY APPLN. INFO.:			US 2003-447843P	P	20030214
			US 2003-467035P	P	20030501
			CN 2004-80006528	A3	20040213
			JP 2006-503604	A3	20040213
			US 2004-779157	A1	20040213
			WO 2004-US4224	W	20040213
			WO 2004-US4273	W	20040213
			WO 2004-US4449	W	20040213
			IN 2005-DN3375	A3	20050728
			US 2006-448293	A3	20060607
			US 2006-448294	A1	20060607

OTHER SOURCE(S): CASREACT 141:225161; MARPAT 141:225161

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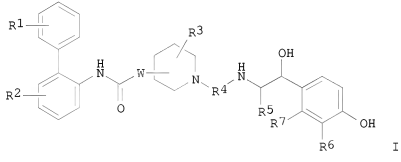

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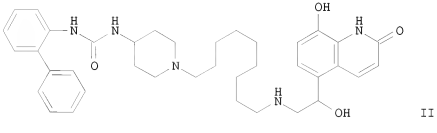


II

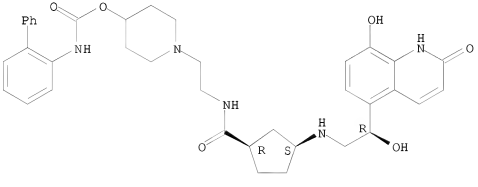
AB Title compds. I [R1 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, etc.; R2 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, CN, etc.; W = O, substituted N; R3 (taken 0-4 times) = alk(en/yn)yl, cycloalkyl, etc.; R4 = divalent group; R5 = H, alkyl; R6 = amino, alkoxy, etc.; R7 = H, etc.] are prepared For instance, N-[1,1'-Biphenyl-2-yl]-N'-[1-(9-amininonyl)piperidin-4-yl]urea (preparation given) is combined with 8-Benzyloxy-5-(2,2-dihydroxyacetyl)-1H-quinolin-2-one (CH2Cl2, NaHB(OAc)3) and the product reduced (MeOH, H2-Pd/C) to give II. Selected example compds. have Ki < 10 nM for the β2 and muscarinic receptor. I are useful in the treatment of pulmonary disorders, such as chronic obstructive pulmonary disease and asthma.

IT 743461-80-5P, Biphenyl-2-ylcarbamic acid 1-[2-[[[(1R,3S)-3-[[(R)-2-hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]cyclopentane-1-yl]carbonyl]amino]ethyl]piperidin-4-yl ester RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenyl derivs. as β2-adrenergic agonists and muscarinic antagonists for pulmonary disorders)

RN 743461-80-5 CA

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[2-[[[(1R,3S)-3-[[(2R)-2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]cyclopentyl]carbonyl]amino]ethyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 73 OF 76 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 141:59702 CA

TITLE: Inhalant containing a combination of a tiotropium salt and a β-mimetics for the treatment of COPD

INVENTOR(S): Konetzki, Ingo; Meade, Christopher J. Montague; Pairet, Michel; Pieper, Michael P.

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. KG, Germany

SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

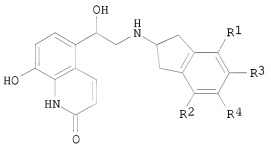
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10256080	A1	20040617	DE 2002-10256080	20021129
CA 2507656	A1	20040617	CA 2003-2507656	20031119
WO 2004050093	A1	20040617	WO 2003-EP12913	20031119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003288107	A1	20040623	AU 2003-288107	20031119
US 20040132759	A1	20040708	US 2003-717868	20031119
US 7250426	B2	20070731		
EP 1581224	A1	20051005	EP 2003-779979	20031119
EP 1581224	B1	20080123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006509776	T	20060323	JP 2004-556154	20031119
AT 384531	T	20080215	AT 2003-779979	20031119
ES 2298597	T3	20080516	ES 2003-779979	20031119

PRIORITY APPLN. INFO.:

DE 2002-10256080	A	20021129
US 2003-446668P	P	20030211
WO 2003-EP12913	W	20031119

OTHER SOURCE(S): MARPAT 141:59702

GI



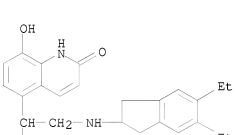
I

AB The invention concerns a combination for the treatment of chronic obstructive pulmonary disease composed of a tiotropium salt, preferably tiotropium bromide, and a β-mimetic of the general formula (I), where R1, R2 = H, C1-4-alkyl; R3, R4 = H, C1-4-alkyl, O-C1-4-alkyl, C1-4-alkylene-O-C1-4-alkyl; or R3, R4 together are for a bridging group O-C1-4-alkylene or -O-C1-4-O-, or its salt. Inhalant powders, suspensions and solns. are prepared Thus an inhalant powder contained (μg/capsule): tiotropium bromide monohydrate 10.8; 5-[[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-2(1H)-quinoline monohydrochloride 35; and lactose 4954.2.

IT 614751-12-1
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(inhalant containing combination of tiotropium salt and β-mimetics for treatment of COPD)
RN 614751-12-1 CA
CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

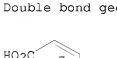
CRN 312753-33-6
CMF C24 H28 N2 O3



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L8 ANSWER 74 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 139:341650 CA
TITLE: Medicaments containing betamimetic drugs and a novel anticholinesterase drug for treating respiratory tract diseases
INVENTOR(S): Banholzer, Rolf; Meade, Christopher John Montague; Meissner, Helmut; Morschhaeuser, Gerd; Pairet, Michel; Pieper, Michael P.; Pohl, Gerald; Reichl, Richard; Speck, Georg; Konetzki, Ingo
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087097	A1	20031023	WO 2003-EP3669	20030409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10256317	A1	20031023	DE 2002-10256317	20021203
US 20040010003	A1	20040115	US 2003-395501	20030324
US 7417051	B2	20080826		
CA 2481468	A1	20031023	CA 2003-2481468	20030409
AU 2003232201	A1	20031027	AU 2003-232201	20030409
AU 2003232201	B2	20090611		
EP 1497289	A1	20050119	EP 2003-746158	20030409
EP 1497289	B1	20050824		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009185	A	20050215	BR 2003-9185	20030409
CN 1646527	A	20050727	CN 2003-808330	20030409
AT 302774	T	20050915	AT 2003-746158	20030409
JP 2005529111	T	20050929	JP 2003-584053	20030409
EP 1586574	A1	20051019	EP 2005-10708	20030409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
ES 2248767	T3	20060316	ES 2003-746158	20030409
NZ 536337	A	20070531	NZ 2003-536337	20030409
ZA 2004006881	A	20060628	ZA 2004-6881	20040830
NO 2004004107	A	20041104	NO 2004-4107	20040927
IN 2004DN02916	A	20070413	IN 2004-DN2916	20040928
MX 2004009916	A	20050503	MX 2004-9916	20041008

PRIORITY APPLN. INFO.:
DE 2002-10216428 A 20020412
DE 2002-10256317 A 20021203
US 2002-386160P P 20020605
EP 2003-746158 A3 20030409
WO 2003-EP3669 W 20030409

OTHER SOURCE(S): MARPAT 139:341650
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel medicament compns. based on long-acting β2 agonists and salts I·X- [X = simple anion (Cl, Br, I, sulfate, phosphate, O3SMe, NO3, maleate, OAc, citrate, fumarate, tartrate, oxalate, succinate, O2CPh, OTs)], of a novel anticholinesterase drug I, to

methods for the production of these compns. and their use in treating respiratory tract diseases. The invention also relates to the combination of I with one or more biomimetics II [R1, R2 = H, C1-4-alkyl; R3, R4 = H, C1-4-alkyl, O-(C1-4-alkyl), (C1-4-alkylene)-O-(C1-4-alkyl); R3R4 = C1-4-alkylene, O-(C1-4-alkylene)-O], their enantiomers, mixts., racemates, solvates, hydrates or with salmeterol, formoterol or their acid addition salts. Thus, an example inhalation powder formulation comprises I·Br- and II·HO2CCH:CHCO2H-(Z) (R1 = R2 = H, R3 = R4 = Et) and lactose.

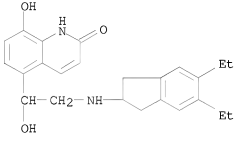
IT 614751-12-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(betamimetic drug; medicaments containing betamimetic drugs and a novel anticholinesterase drug for treating respiratory tract diseases)

RN 614751-12-1 CA

CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

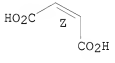
CRN 312753-33-6
CMF C24 H28 N2 O3



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 75 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 137:37642 CA
TITLE: Preparation and formulation of a quinolinone compound for treatment of airway disorders

INVENTOR(S): Cuenoud, Bernard; Fairhurst, Robin Alec; Lowther, Nicholas
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002045703	A2	20020613	WO 2001-EP14122	20011203
WO 2002045703	A3	20030313		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2427282	A1	20020613	CA 2001-2427282	20011203
AU 2002017082	A	20020618	AU 2002-17082	20011203
EP 1341542	A2	20030910	EP 2001-999366	20011203
EP 1341542	B1	20070502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002571	A2	20031128	HU 2003-2571	20011203
HU 2003002571	A3	20050530		
BR 2001015910	A	20040120	BR 2001-15910	20011203
JP 2004514739	T	20040520	JP 2002-547487	20011203
NZ 525731	A	20041126	NZ 2001-525731	20011203
AU 2002217082	B2	20050407	AU 2002-217082	20011203
CN 1212119	C	20050727	CN 2001-820032	20011203
RU 2292890	C2	20070210	RU 2003-119549	20011203
EP 1772142	A2	20070411	EP 2007-100048	20011203
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, RO, SI				
AT 361077	T	20070515	AT 2001-999366	20011203
ES 2284732	T3	20071116	ES 2001-999366	20011203
IL 155709	A	20081126	IL 2001-155709	20011203
ZA 2003003399	A	20040423	ZA 2003-3399	20030502
IN 2003CN00856	A	20050422	IN 2003-CN856	20030602
NO 2003002510	A	20030603	NO 2003-2510	20030603
NO 325692	B1	20080707		
MX 2003004976	A	20030905	MX 2003-4976	20030604
US 20040038951	A1	20040226	US 2003-433546	20030604
US 6800643	B2	20041005		
HK 1059564	A1	20080111	HK 2004-100918	20040211
US 20050009795	A1	20050113	US 2004-911201	20040804
US 7008951	B2	20060307		
US 20060052352	A1	20060309	US 2005-248462	20051012
JP 2007302684	A	20071122	JP 2007-180977	20070710

PRIORITY APPLN. INFO.:		
	GB 2000-29562	A 20001204
	EP 2001-999366	A3 20011203
	JP 2002-547487	A3 20011203

WO 2001-EP14122 W 20011203
US 2003-433546 A1 20030604
US 2004-911201 A3 20040804

OTHER SOURCE(S): MARPAT 137:37642

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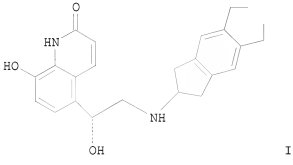


I

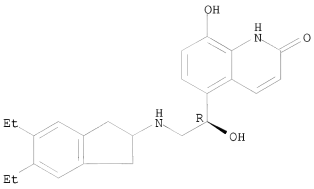
AB An inhalation composition comprises, sep. or together, (A) a quinolinone compound
(I) in free or pharmaceutically acceptable salt or solvate form and (B) a corticosteroid, useful for simultaneous, sequential or sep. administration in the treatment of an inflammatory or obstructive airway disease. The molar ratio of (A) to (B) is from 100:1 to 1:300. A composition is an aerosol or a dry powder in a capsule. For example, an aerosol formulation was prepared by dispensing 10 parts of micronized I maleate, 10 parts of mometasone furoate, and 100 parts of lactose (bulking agent) into a vial, sealing the vial with a metering valve, injecting the premix of 2500 parts of ethanol, 30,500 parts of propellant HFA134a, 67,000 parts of propellant HFA227, and 0.5 parts of oleic acid (surfactant) into the vial through the valve, and subjecting the vial to ultrasonic energy to disperse the solid particles.

IT 312753-06-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and quinolinone compound and its formulation with corticosteroid
for treatment of airway disorders)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

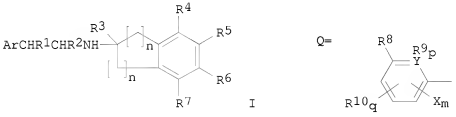
L8 ANSWER 76 OF 76 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 134:42074 CA
TITLE: Preparation of indanyl-substituted quinolinone derivatives as β2-adrenoceptor agonists
INVENTOR(S): Cuenoud, Bernard; Bruce, Ian; Fairhurst, Robin Alec; Beattie, David
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075114	A1	20001214	WO 2000-EP5058	20000602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 253447	B	20060421	TW 2000-89108928	20000510
CA 2375810	A1	20001214	CA 2000-2375810	20000602
BR 2000011324	A	20020305	BR 2000-11324	20000602
EP 1183240	A1	20020306	EP 2000-935163	20000602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103497	T2	20020521	TR 2001-3497	20000602
HU 2002001658	A2	20020828	HU 2002-1658	20000602
HU 2002001658	A3	20050128		
JP 2003501417	T	20030114	JP 2001-501595	20000602
JP 3785365	B2	20060614		

AU 765919	B2	20031002	AU 2000-50745		20000602
NZ 515669	A	20040130	NZ 2000-515669		20000602
CN 1156451	C	20040707	CN 2000-808487		20000602
RU 2244709	C2	20050120	RU 2001-135801		20000602
IL 146578	A	20070515	IL 2000-146578		20000602
IN 2001CN01673	A	20070622	IN 2001-CN1673		20011128
NO 2001005912	A	20020121	NO 2001-5912		20011203
NO 322944	B1	20061218			
ZA 2001009931	A	20020605	ZA 2001-9931		20011203
MX 2001012474	A	20020604	MX 2001-12474		20011204
US 6878721	B1	20050412	US 2002-9008		20020108
US 20050153957	A1	20050714	US 2005-74400		20050307
PRIORITY APPLN. INFO.:			GB 1999-13083	A	19990604
			WO 2000-EP5058	W	20000602
			US 2002-9008	A3	20020108

OTHER SOURCE(S): MARPAT 134:42074

GI



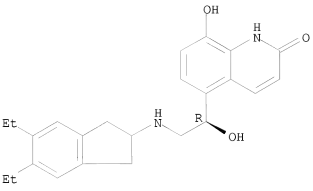
AB The title compds. I [Ar = Q; R1 = H, OH, alkoxy; R2, R3 = H, alkyl; R4-R7 = H, halo, cyano, aryl, etc.; R8 = halo, OR13, etc.; R9 = H or part of a heterocycle; R10 = OR19, NHR19, etc.; X = halo, halomethyl, alkyl; Y = C, N; n = 1, 2; p = 0, 1; q, m = 0, 1], β2-adrenoceptor agonists, were prepared E.g., 5-[2-(5,6-dimethoxyindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one was prepared

IT 312753-06-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indanyl-substituted quinolinone derivs. and related compds. as β2-adrenoceptor agonists)

RN 312753-06-3 CA

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 15:16:37 ON 20 JUL 2009